Serial No.: 10/812,075 Author Search

=> FILE CAPLUS

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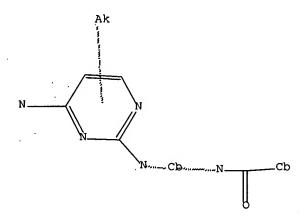
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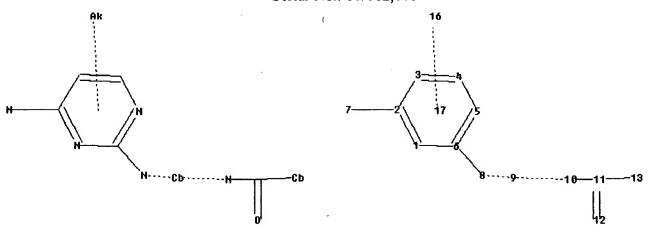
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=> D QUE L25 L8

STR



Structure attributes must be viewed using STN Express query preparation: Uploading  $\operatorname{strB.str}$ 



chain nodes :
7 8 9 10 11 12 13 16
ring nodes :
1 2 3 4 5 6
chain bonds :
2-7 6-8 8-9 9-10 10-11 11-12 11-13
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
2-7 6-8 8-9 9-10 10-11 11-12
exact bonds :
11-13
normalized bonds :

Connectivity:

16:1 E exact RC ring/chain

1-2 1-6 2-3 3-4 4-5 5-6

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:Atom 10:CLASS

11:CLASS 12:CLASS 13:Atom 16:CLASS 17:Atom

Generic attributes :

13:

Saturation : Unsaturated Type of Ring System : Monocyclic

Element Count : Node 9: Limited C,C5-8

Node 13: Limited C,C6

L13 278 SEA FILE=REGISTRY SSS FUL L8 3 SEA FILE=CAPLUS ABB=ON PLU=ON L13 L14902 SEA FILE=CAPLUS ABB=ON PLU=ON SEKIGUCHI Y?/AU L15 L16 32 SEA FILE=CAPLUS ABB=ON PLU=ON KANUMA K?/AU L17 21 SEA FILE=CAPLUS ABB=ON PLU=ON OMODERA K?/AU 19 SEA FILE=CAPLUS ABB=ON PLU=ON BUSUJIMA T?/AU L18 2458 SEA FILE=CAPLUS ABB=ON PLU=ON TRAN T?/AU L19

L20 9406 SEA FILE=CAPLUS ABB=ON PLU=ON HAN S?/AU
L21 54 SEA FILE=CAPLUS ABB=ON PLU=ON CASPER M?/AU
L22 757 SEA FILE=CAPLUS ABB=ON PLU=ON KRAMER B?/AU
L23 92 SEA FILE=CAPLUS ABB=ON PLU=ON SEMPLE G?/AU
L24 95 SEA FILE=CAPLUS ABB=ON PLU=ON ZOU N?/AU
L25 3 SEA FILE=CAPLUS ABB=ON PLU=ON (L15 OR L16 OR L17 OR L18 OR L19 OR L20 OR L21 OR L22 OR L23 OR L24) AND L14

=> D IBIB ED ABS HITSTR 1-3 L25

L25 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2006:464826 CAPLUS Full-text

DOCUMENT NUMBER:

144:488666

TITLE:

Preparation of quinoline, tetrahydroquinazoline, and pyrimidine derivatives as MCH antagonist for treatment

of CNS disorders

INVENTOR(S):

Sekiguchi, Yoshinori; Kanuma, Yukihiro; Omodera, Katsunori; Busujima, Takeshi

; Tran, Thuy-Ahn; Han, Sangdong;

Casper, Martin; Brian, A. Kramer; Semple,

Graeme; Zou, Ning

PATENT ASSIGNEE(S):

Taisho Pharmaceutical Co., Ltd., Japan; Arena

Pharmaceutical Inc.

SOURCE:

Jpn. Kokai Tokkyo Koho, 781 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. \_\_\_\_\_ \_\_\_\_\_ ---------Α . JP 2005-286311 JP 2006124387 20060518 20050930 PRIORITY APPLN. INFO.: JP 2004-287659 A 20040930

OTHER SOURCE(S):

MARPAT 144:488666

ED Entered STN: 19 May 2006

GΙ

$$(T)_{p} \xrightarrow{R^{2}}_{N} \xrightarrow{L^{Y}_{R^{1}}}_{I} \xrightarrow{(T)_{p}}_{N} \xrightarrow{N}_{L^{Y}_{R^{1}}}_{I} \xrightarrow{II}$$

$$(T)_{p} \xrightarrow{N}_{N} \xrightarrow{L^{Y}_{R^{1}}}_{I} \xrightarrow{II}$$

$$(T)_{p} \xrightarrow{N}_{N} \xrightarrow{N}_{L^{Y}_{R^{1}}}_{I} \xrightarrow{II}$$

$$(T)_{p} \xrightarrow{N}_{N} \xrightarrow{N}_{L^{Y}_{R^{1}}}_{I} \xrightarrow{II}_{I}$$

AB Title compds. [I, III, III; wherein R1 = (un) substituted (cyclo) alkyl, (cyclo)alkenyl, alkynyl, aryl; R2 = H, halo, OH, carboxy, carbamoyl, amino, (un) substituted alkyl, alkoxy; T = independently H, halo, OH, carboxy, carbamoyl, amino, cyano, NO2, alkenyl, alkynyl, cycloalkyl, (un)substituted alkyl, alkoxy; p = 0-5; L = aminocycloalkylideneamino, etc.; Y = bond, CH2, CO2, OCO, SO2, CO, CS, CONH, CSNH, etc.; with provisos; and pharmaceutically acceptable salts, hydrates, or solvates thereof] were prepared as antagonists of melanin concentrating hormone (MCH), an endogenous ligand of G-protein coupled receptors (GPCRs). Examples include solution and solid phase general synthetic methods and phys. data for nearly 3400 invention compds. In addition, all exemplified compds. were assayed using high throughput functional screening to detect intracellular Ca2+ concns. for accessing GPCR activation. For instance, reaction of 2,4-dichloro-6-methylpyrimidine with dimethylamine gave 2-chloro-4-(dimethylamino)-6-methylpyrimidine (40%), which was coupled with cis-(4-aminocyclohexyl)carbamic acid tert-Bu ester (60%). Deprotection (72%), amidation, and workup provided the benzamide (IV) TFA. The latter demonstrated MCH antagonist activity with an IC50 value of 7.6 nM. Thus, pharmaceutical compns. comprising I are useful for the prophylaxis or treatment of improving memory function, sleeping and arousal, anxiety, depression, mood disorders, seizure, obesity, diabetes, appetite and eating disorders, cardiovascular disease, hypertension, dyslipidemia, myocardial infarction, binge eating disorders including bulimia, anorexia, mental disorders including manic depression, schizophrenia, delirium, dementia, stress, cognitive disorders, attention deficit disorder, substance abuse disorders, and dyskinesias including Parkinson's disease, epilepsy, and addiction (no data).

771545-17-6P 771545-22-3P 773141-41-6P IT 773141-63-2P 773141-64-3P 773141-65-4P 773141-66-5P 773141-67-6P 773141-68-7P 773141-69-8P 773141-70-1P 773141-72-3P 773141-79-0P 773142-96-4P 773143-00-3P 773143-01-4P 773143-05-8P 773143-06-9P 773143-07-0P 773143-09-2P 773143-10-5P 773143-16-1P 773143-17-2P 773143-19-4P 773143-20-7P 773143-21-8P 773143-22-9P 773143-23-0P 773143-24-1P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(MCH antagonist; preparation of quinolines, quinazolines, and pyrimidines

as

MCH antagonist for treatment of CNS disorders)

RN 771545-17-6 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771545-22-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773141-41-6 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-methyl-6-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773141-63-2 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

RN 773141-64-3 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-5-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me}_{2N} \\ \text{Me}_{1N} \\ \text{He}_{1N} \\ \text{He}_{1N} \\ \text{He}_{1N} \\ \text{He}_{2N} \\ \text{H$$

RN 773141-65-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4,5-trifluoro-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773141-66-5 CAPLUS

CN Benzamide, 3-chloro-4-fluoro-N-[cis-4-[[5-methyl-4-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773141-67-6 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773141-68-7 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-5-fluoro-, monohydrochloride (9CI) (CAINDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me}_{2N} \\ \end{array}$$

HCl

RN 773141-69-8 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4,5-trifluoro-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

HCl

RN 773141-70-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-difluoro-, monohydrochloride (9CI) (CF INDEX NAME)

Relative stereochemistry.

HCl

RN 773141-72-3 CAPLUS

CN Benzamide, N-[cis-4-[(4-amino-5-methyl-2-pyrimidinyl)amino]cyclohexyl]-3-chloro-4-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{H}_2\text{N} \end{array}$$

HCl

RN 773141-79-0 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 771551-22-5

CMF C20 H25 C1 F N5 O

Relative stereochemistry.

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 773142-96-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro- (9CI) (CA INDEX NAME)

RN 773143-00-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773143-01-4 CAPLUS

CN Benzamide, 3,4-dichloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773143-05-8 CAPLUS

CN Benzamide, 3,5-dichloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

RN 773143-06-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773143-07-0 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773143-09-2 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me}_2 \, \text{N} \\ \end{array}$$

RN 773143-10-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-[2,2,2-trifluoro-1-hydroxy-1-

(trifluoromethyl)ethyl] - (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773143-16-1 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773143-17-2 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-5-fluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773143-19-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4,5-trifluoro-(9CI) (CA INDEX NAME)

RN 773143-20-7 CAPLUS

CN Benzamide, 3-chloro-4-fluoro-N-[cis-4-[[5-methyl-4-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773143-21-8 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773143-22-9 CAPLUS .

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-5-fluoro- (9CI) (CA INDEX NAME)

RN 773143-23-0 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4,5-trifluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773143-24-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-difluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

## IT 771544-72-0P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(intermediate; preparation of quinolines, quinazolines, and pyrimidines as melanin-concentrating hormone antagonist for treatment of CNS disorders)

RN 771544-72-0 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

## IT 771545-85-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of quinolines, quinazolines, and pyrimidines as melanin-concentrating hormone antagonist for treatment of CNS disorders)

RN 771545-85-8 CAPLUS

CN Benzamide, N-[cis-4-[[4-(ethylmethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro-(9CI) (CA INDEX NAME)

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IT
    771543-92-1P 771543-93-2P 771543-95-4P
    771544-42-4P 771544-43-5P 771544-44-6P
    771544-45-7P 771544-46-8P 771544-47-9P
     771544-48-0P 771544-49-1P 771544-50-4P
     771544-68-4P 771544-99-1P 771545-01-8P
     771545-03-0P 771545-04-1P 771545-06-3P
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    771552-26-2P 771553-00-5P 771555-36-3P
     771555-45-4P 771556-86-6P 771556-89-9P
    771556-90-2P 771557-07-4P 771557-21-2P
    RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (melanin-concentrating hormone antagonist; preparation of quinolines,
quinazolines,
       and pyrimidines as melanin-concentrating hormone antagonist for treatment
        CNS disorders)
     771543-92-1 CAPLUS
    Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-
    pyrimidinyl]amino]cyclohexyl]-3,4-difluoro-, monohydrochloride (9CI) (CA
```

Relative stereochemistry.

INDEX NAME)

of

RN

CN

```
RN
     771543-93-2 CAPLUS
    Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-
    pyrimidinyl]amino]cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)
```

Relative stereochemistry.

HCl

RN 771543-95-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 771552-18-2 CMF C21 H29 N5 O

Relative stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 771544-42-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methyl- (9CI) (CA INDEX NAME)

RN 771544-43-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771544-44-6 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771544-45-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 771544-46-8 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771544-47-9 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771544-48-0 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771544-49-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

RN 771544-50-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771544-68-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-bis(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771544-99-1 CAPLUS

CN Benzamide, 3,5-dibromo-N-[cis-4-[[5-methyl-4-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 771544-98-0 CMF C19 H23 Br2 N5 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 771545-01-8 CAPLUS

CN Benzamide, 3-fluoro-N-[cis-4-[[5-methyl-4-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]-5-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 771545-00-7 CMF C20 H23 F4 N5 O

Relative stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 771545-03-0 CAPLUS
CN Benzamide, N-[cis-4-[[5-methyl-4-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)-,
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 771545-02-9 CMF C20 H24 F3 N5 O2

Relative stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 771545-04-1 CAPLUS
CN Benzamide, N-[cis-4-[[5-methyl-4-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]-3,5-bis(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 771545-06-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(ethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 771545-05-2 CMF C20 H25 F2 N5 O

Relative stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 771545-08-5 CAPLUS

CN Benzamide, 3,4-difluoro-N-[cis-4-[[5-methyl-4-[(1-methylethyl)amino]-2-pyrimidinyl]amino]cyclohexyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 771545-07-4 CMF C21 H27 F2 N5 O

Relative stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 771545-10-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(cyclopropylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 771545-09-6 CMF C21 H25 F2 N5 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 771545-12-1 CAPLUS

CN Benzamide, 3,4-difluoro-N-[cis-4-[[5-methyl-4-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 771545-11-0 CMF C19 H23 F2 N5 O

Relative stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

CM 1

CRN 771545-17-6 CMF C21 H29 N5 O

Relative stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 771545-23-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 771545-22-3 CMF C22 H28 F3 N5 O

Relative stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 771545-80-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-ethyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771545-83-6 CAPLUS

CN Benzamide, N-[cis-4-[[4-(ethylmethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro-, monohydrochloride (9CI) (CAINDEX NAME)

Relative stereochemistry.

HCl

RN 771546-31-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

RN 771546-33-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-35-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

HCl

RN 771546-37-3 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-39-5 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-41-9 CAPLUS

CN Benzamide, 3,5-dichloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-43-1 CAPLUS

CN Benzamide, 3,4-dichloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-47-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-2-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-49-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-51-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 771546-53-3 CAPLUS

CN Benzoic acid, 2-[[[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]amino]carbonyl]-, methyl ester (9CI) (CF INDEX NAME)

Relative stereochemistry.

RN 771546-55-5 CAPLUS

CN Benzoic acid, 3-[[[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-57-7 CAPLUS

CN Benzoic acid, 2-[[[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]amino]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 771546-59-9 CAPLUS

CN Benzoic acid, 3-[[[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]amino]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-61-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-63-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-bis(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-65-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-67-9 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

HC1

RN 771546-69-1 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-71-5 CAPLUS

CN Benzamide, 3,4-dichloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-73-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-dimethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-77-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-

pyrimidinyl]amino]cyclohexyl]-4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-79-3 CAPLUS

CN Benzamide, 3-bromo-4-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-06-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-methoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-30-5 CAPLUS

CN Benzamide, 3-cyano-N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-32-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-34-9 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-36-1 CAPLUS

CN Benzamide, 3-bromo-N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

RN 771549-38-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-dimethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-40-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-42-9 CAPLUS

CN Benzamide, 3,4-dichloro-N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-44-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-46-3 CAPLUS

CN Benzamide, 4-cyano-N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-48-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-4-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-50-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me}_2 \\ \text{N} \end{array}$$

RN 771549-52-1 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-54-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-2-methoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-56-5 CAPLUS

CN Benzamide, 4-bromo-N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-58-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 771549-60-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-4-ethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-62-3 CAPLUS

CN Benzamide, 4-bromo-N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-64-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro-4-methyl- (9CI) (CA INDEX NAME)

RN 771549-66-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro-3-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-68-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-ethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-70-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-78-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-diethoxy- (9CI) (CA INDEX NAME)

RN 771549-80-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-ethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-82-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-(1-methylethoxy)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-86-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro-(9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me}_{2N} \\ \end{array}$$

RN 771550-50-6 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-52-8 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-54-0 CAPLUS

CN Benzamide, 3-bromo-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-56-2 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro- (9CI) (CA INDEX NAME)

RN 771550-58-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-dimethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-60-8 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-2,4-difluoro-(9CI) (CA INDEX NAME)

Relative stereochemistry.

$$Me_{2}N$$

$$Me_{1}N$$

$$Me_{2}N$$

RN 771550-62-0 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-2,5-difluoro- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me}_{2N} \end{array}$$

RN 771550-64-2 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-2,3,4-trifluoro-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-66-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-68-6 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 7.71550-70-0 CAPLUS

CN Benzamide, 4-butyl-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

RN 771550-72-2 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-74-4 CAPLUS

CN Benzamide, 3-cyano-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-76-6 CAPLUS.

CN Benzamide, 4-cyano-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

RN 771550-78-8 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-2-methoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-80-2 CAPLUS

CN Benzamide, 4-bromo-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-82-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-84-6 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-methoxy- (9CI) (CA INDEX NAME)

$$\mathsf{Me}_{2\,N} \overset{\mathsf{M}}{\longrightarrow} \mathsf{N}$$

RN 771550-86-8 CAPLUS

CN Benzamide, 2-bromo-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-88-0 CAPLUS

CN Benzamide, 2-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-90-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-2-fluoro- (9CI) (CA INDEX NAME)

RN 771550-92-6 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-2-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-94-8 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\underset{\mathsf{Me}_{2}\mathsf{N}}{\overset{\mathsf{Me}}{\longrightarrow}} \overset{\mathsf{N}}{\overset{\mathsf{N}}{\longrightarrow}} \overset{\mathsf{H}}{\overset{\mathsf{N}}{\longrightarrow}} \overset{\mathsf{N}}{\overset{\mathsf{N}}{\longrightarrow}} \overset{\mathsf{N}}{\overset{\mathsf{N}}} \overset{\mathsf{N}}{\overset{\mathsf{N}}{\longrightarrow}} \overset{\mathsf{N}}{\overset{\mathsf{N}}{\longrightarrow}} \overset{\mathsf{N}}{\overset{\mathsf{N}}{\longrightarrow}} \overset{\mathsf{N}}{\overset{\mathsf{N}}{\longrightarrow}} \overset{\mathsf{N}}{\overset{\mathsf{N}}{\longrightarrow}} \overset{\mathsf{N}}{\overset{\mathsf{N}}} \overset{\mathsf{N}}} \overset{\mathsf{N}}{\overset{\mathsf{N}}} \overset{\mathsf{N}}{\overset{\mathsf{N}}} \overset{\mathsf{N}}{\overset{\mathsf{N}}} \overset{\mathsf{N}}{\overset{\mathsf{N}}} \overset{\mathsf{N}}{\overset{\mathsf{N}}} \overset{\mathsf{N}}} \overset{\mathsf{N}}{\overset{\mathsf{N}}} \overset{\mathsf{N}}{\overset{\mathsf{N}}} \overset{\mathsf{N}}{\overset{\mathsf{N}}} \overset{\mathsf{N}}} \overset{\mathsf{N}}{\overset{\mathsf{N}}} \overset{\mathsf{N}}{\overset{\mathsf{N}}} \overset{\mathsf{N}}{\overset{\mathsf{N}}} \overset{\mathsf{N}}} \overset{\mathsf{N}}{\overset{\mathsf{N}}} \overset{\mathsf{N}}{\overset{\mathsf{N}}} \overset{\mathsf{N}}} \overset{\mathsf{N}}{\overset{\mathsf{N}}} \overset{\mathsf{N}}} \overset{\mathsf{N}} \overset{\mathsf{N}}} \overset{\mathsf{N}}} \overset{\mathsf{N}} \overset{\mathsf{N}} \overset{\mathsf{N}}} \overset{\mathsf{N}} \overset{\mathsf{N}}} \overset{\mathsf{N}} \overset{\mathsf{N}}}$$

RN 771550-96-0 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro-3-(trifluoromethyl)- (9CI) (CFINDEX NAME)

Relative stereochemistry.

RN 771550-98-2 CAPLUS

CN Benzamide, 4-bromo-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methyl- (9CI) (CA INDEX NAME)

RN 771551-00-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-ethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-02-1 CAPLUS

CN Benzamide, 3-(dimethylamino)-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-04-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro-3-methyl- (9CI) (CA INDEX NAME)

RN 771551-06-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro-4-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-08-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-ethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-12-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-ethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-14-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(1-methylethoxy)- (9CI) (CA INDEX NAME)

RN 771551-16-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-diethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-18-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro-5-(trifluoromethyl)- (9CI) (CAINDEX NAME)

Relative stereochemistry.

RN 771551-20-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 771551-22-5 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-24-7 CAPLUS

CN Benzamide, 3,5-dibromo-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-26-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)

RN 771551-28-1 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-30-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-methoxy-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-32-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 771551-34-9 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-56-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-58-7 CAPLUS

CN Benzamide, 4-butyl-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-60-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-62-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-64-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-2-methoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-66-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-methoxy- (9CI) (CA INDEX NAME)

RN 771551-68-9 CAPLUS

CN Benzamide, 3-cyano-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-70-3 CAPLUS

CN Benzamide, 4-cyano-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-72-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 771551-74-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro-3-(trifluoromethyl)- (9CI) (CAINDEX NAME)

Relative stereochemistry.

RN 771551-76-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-78-1 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-80-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro-3-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-82-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro-4-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-84-9 CAPLUS

CN Benzamide, 3,5-dichloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-86-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

RN 771551-88-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-difluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-90-7 CAPLUS

CN Benzamide, 4-bromo-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-92-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-ethyl- (9CI) (CA INDEX NAME)

RN 771551-94-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-ethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-96-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-98-5 CAPLUS

CN Benzamide, 4-bromo-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771552-00-2 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-ethyl- (9CI) (CA INDEX NAME)

RN 771552-02-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-diethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771552-04-6 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-ethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771552-06-8 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(1-methylethoxy)- (9CI) (CA INDEX NAME)

RN 771552-14-8 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-methoxy-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771552-16-0 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771552-18-2 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771552-20-6 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4,5-trimethoxy- (9CI) (CA INDEX NAME)

RN 771552-22-8 CAPLUS

CN Benzamide, N-[4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-nitro- (9CI) (CA INDEX NAME)

RN 771552-26-2 CAPLUS

CN Benzamide, 3,4-dichloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771553-00-5 CAPLUS

CN Benzamide, 3,4-dichloro-N-[cis-4-[[5-methyl-4-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

RN 771555-36-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771555-45-4 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771556-86-6 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro-, monohydrochloride (9CI) (CAINDEX NAME)

RN 771556-89-9 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro-, monohydrochloride (9CI) (CAINDEX NAME)

Relative stereochemistry.

RN 771556-90-2 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-ethyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

HCl

RN 771557-07-4 CAPLUS

CN Benzamide, N-[cis-4-[(4-amino-5-methyl-2-pyrimidinyl)amino]cyclohexyl]-3,5-bis(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 771557-21-2 CAPLUS

CN Benzamide, N-[cis-4-[[4-methyl-6-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

● HCl

L25 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2004:875033 CAPLUS Full-text

DOCUMENT NUMBER:

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TITLE:

Preparation of quinoline, tetrahydroquinazoline, and pyrimidine derivatives as MCH antagonist for treatment

of CNS disorders

INVENTOR(S):

Sekiguchi, Yoshinori; Kanuma, Kosuke; Omodera, Katsunori; Busujima, Tsuyoshi; Tran, Thuy-Anh; Han,

Sangdon; Casper, Martin; Kramer,
Bryan A.; Semple, Graeme; Zou,

Ning

PATENT ASSIGNEE(S):

Taisho Pharmaceutical Co. Ltd., Japan

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IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK -20041006 EP 2004-7651 20040330 EP 1464335 A2 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK US 2003-458530P PRIORITY APPLN. INFO.: Ρ 20030331 US 2003-495911P Р 20030819 US 2003-510186P Ρ 20031009 US 2003-530360P Ρ 20031216 EP 2004-7651 Α 20040330

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GI

$$(T) p \xrightarrow{R^2} (T) p \xrightarrow{R^2} N \xrightarrow{R^2} (T) p \xrightarrow{N} L^{Y} R^1 III$$

$$(T) p \xrightarrow{N} L^{Y} R^1 III$$

$$NMe_2 \qquad Me$$

$$NMe_2 \qquad NMe_2 \qquad NMe_2$$

Title compds. I, II, and III [wherein R1 = (un) substituted (cyclo) alkyl, AB (cyclo)alkenyl, alkynyl, aryl; R2 = H, halo, OH, carboxy, carbamoyl, amino, (un) substituted alkyl, alkoxy; T = independently H, halo, OH, carboxy, carbamoyl, amino, cyano, NO2, alkenyl, alkynyl, cycloalkyl, (un) substituted alkyl, alkoxy; p = 0-5; L = aminocycloalkylideneamino, etc.; Y = bond, CH2, CO2, OCO, SO2, CO, CS, CONH, CSNH, etc.; with provisos; and pharmaceutically acceptable salts, hydrates, or solvates thereof] were prepared as antagonists of melanin concentrating hormone (MCH), an endogenous ligand of G-protein coupled receptors (GPCRs). Examples include solution and solid phase general synthetic methods and phys. data for nearly 3400 invention compds. In addition, all exemplified compds. were assayed using high throughput functional screening to detect intracellular Ca2+ concns. for accessing GPCR activation. For instance, reaction of 2,4-dichloro-6-methylpyrimidine with dimethylamine gave 2-chloro-4-(dimethylamino)-6-methylpyrimidine (40%), which was coupled with cis-(4-aminocyclohexyl)carbamic acid tert-Bu ester (60%). Deprotection (72%), amidation, and workup provided the benzamide IVoTFA. The latter demonstrated MCH antagonist activity with an IC50 value of 7.6 nM. Thus, pharmaceutical compns. comprising I are useful for the prophylaxis or treatment of improving memory function, sleeping and arousal, anxiety, depression, mood disorders, seizure, obesity, diabetes, appetite and eating disorders, cardiovascular disease, hypertension, dyslipidemia, myocardial

infarction, binge eating disorders including bulimia, anorexia, mental disorders including manic depression, schizophrenia, delirium, dementia, stress, cognitive disorders, attention deficit disorder, substance abuse

disorders, and dyskinesias including Parkinson's disease, epilepsy, and addiction (no data). This is part III of three in a series covering the patent. TΤ 771544-72-0P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2yl]amino]cyclohexyl]-3,5-bis(trifluoromethyl)benzamide 771545-17-6P, N-[cis-4-[[4-(Dimethylamino)-5,6-dimethylpyrimidin-2yl]amino]cyclohexyl]benzamide 771545-22-3P, N-[cis-4-[[4-(Dimethylamino) -5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl]-3-(trifluoromethyl)benzamide 771545-85-8P, N-[cis-4-[[4-[Ethyl (methyl) amino] -5-methylpyrimidin-2-yl] amino] cyclohexyl] -3,4difluorobenzamide 773141-41-6P, 4-Chloro-N-[cis-4-[[4-methyl-6-(methylamino)pyrimidin-2-yl]amino]cyclohexyl]-3-(trifluoromethyl)benzamide 773141-63-2P, 4-Chloro-N-[cis-4-[(4-dimethylamino-6methylpyrimidin-2-yl)amino]cyclohexyl]-3-fluorobenzamide hydrochloride **773141-64-3P**, 3-Chloro-N-[cis-4-[(4-dimethylamino-6methylpyrimidin-2-yl)amino]cyclohexyl]-5-fluorobenzamide hydrochloride 773141-65-4P, N-[cis-4-[(4-Dimethylamino-6-methylpyrimidin-2yl)amino]cyclohexyl]-3,4,5-trifluorobenzamide hydrochloride 773141-66-5P, 3-Chloro-4-fluoro-N-[cis-4-[(5-methyl-4methylaminopyrimidin-2-yl)amino]cyclohexyl]benzamide hydrochloride 773141-67-6P, 4-Chloro-N-[cis-4-[(4-dimethylamino-5methylpyrimidin-2-yl)amino]cyclohexyl]-3-fluorobenzamide hydrochloride 773141-68-7P, 3-Chloro-N-[cis-4-[(4-dimethylamino-5methylpyrimidin-2-yl)amino]cyclohexyl]-5-fluorobenzamide hydrochloride 773141-69-8P, N-[cis-4-[(4-Dimethylamino-5-methylpyrimidin-2yl)amino]cyclohexyl]-3,4,5-trifluorobenzamide hydrochloride 773141-70-1P, N-[cis-4-[(4-Dimethylamino-5-methylpyrimidin-2yl)amino]cyclohexyl]-3,5-difluorobenzamide hydrochloride 773141-72-3P, N-[cis-4-[(4-Amino-5-methylpyrimidin-2y1)amino]cyclohexy1]-3-chloro-4-fluorobenzamide hydrochloride 773141-79-0P, 3-Chloro-N-[cis-4-[(4-dimethylamino-5methylpyrimidin-2-yl)amino]cyclohexyl]-4-fluorobenzamide methanesulfonate 773142-96-4P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2yl]amino]cyclohexyl]-3,4-difluorobenzamide 773143-00-3P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]-4-(trifluoromethoxy)benzamide 773143-01-4P, 3,4-Dichloro-N-[cis-4-[[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]benzamide 773143-05-8P, 3,5-Dichloro-N-[cis-4-[[4-(dimethylamino)-5methylpyrimidin-2-yl]amino]cyclohexyl]benzamide 773143-06-9P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl]-3,5bis(trifluoromethyl)benzamide 773143-07-0P, 4-Chloro-N-[cis-4-[[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]-3-(trifluoromethyl)benzamide 773143-09-2P, 3-Chloro-N-[cis-4-[[4-(dimethylamino) -5-methylpyrimidin-2-yl]amino]cyclohexyl]-4-(trifluoromethoxy)benzamide 773143-10-5P, N-[cis-4-[[4-(Dimethylamino) -5-methylpyrimidin-2-yl]amino]cyclohexyl] -4-[2,2,2trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]benzamide 773143-16-1P, 4-Chloro-N-[cis-4-[(4-dimethylamino-6methylpyrimidin-2-yl)amino]cyclohexyl]-3-fluorobenzamide 773143-17-2P, 3-Chloro-N-[cis-4-[(4-dimethylamino-6methylpyrimidin-2-yl)amino]cyclohexyl]-5-fluorobenzamide 773143-19-4P, N-[cis-4-[(4-Dimethylamino-6-methylpyrimidin-2yl)amino]cyclohexyl]-3,4,5-trifluorobenzamide 773143-20-7P, 3-Chloro-4-fluoro-N-[cis-4-[(5-methyl-4-methylaminopyrimidin-2y1) amino] cyclohexy1] benzamide 773143-21-8P, 4-Chloro-N-[cis-4-[(4-dimethylamino-5-methylpyrimidin-2-yl)amino]cyclohexyl]-3fluorobenzamide 773143-22-9P, 3-Chloro-N-[cis-4-[(4-

as

MCH antagonist for treatment of CNS disorders)

RN 771544-72-0 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771545-17-6 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771545-22-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 771545-85-8 CAPLUS

CN Benzamide, N-[cis-4-[[4-(ethylmethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773141-41-6 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-methyl-6-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773141-63-2 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

● HCl

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-5-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

HCl

RN 773141-65-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4,5-trifluoro-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773141-66-5 CAPLUS

CN Benzamide, 3-chloro-4-fluoro-N-[cis-4-[[5-methyl-4-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

HCl

RN 773141-67-6 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

HC1

RN 773141-68-7 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-5-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

HC1

RN 773141-69-8 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4,5-trifluoro-, monohydrochloride (9CI) (CA INDEX NAME)

RN 773141-70-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-difluoro-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773141-72-3 CAPLUS

CN Benzamide, N-[cis-4-[(4-amino-5-methyl-2-pyrimidinyl)amino]cyclohexyl]-3-chloro-4-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c|c} & & & & \\ & & & \\ \text{H}_2\text{N} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 773141-79-0 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro-, monomethanesulfonate (9CI) (CA

INDEX NAME)

CM 1

CRN 771551-22-5

CMF C20 H25 C1 F N5 O

Relative stereochemistry.

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 773142-96-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me}_{2N} \\ \text{N} \end{array}$$

RN 773143-00-3 CAPLUS

CN

Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

RN 773143-01-4 CAPLUS

CN Benzamide, 3,4-dichloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me}_{2N} \\ \end{array}$$

RN 773143-05-8 CAPLUS

CN Benzamide, 3,5-dichloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$Me_{2N} = N$$

$$Me_{2N} = N$$

$$Me_{2N} = N$$

RN 773143-06-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 773143-07-0 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773143-09-2 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773143-10-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]- (9CI) (CA INDEX NAME)

RN 773143-16-1 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773143-17-2 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-5-fluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773143-19-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4,5-trifluoro- (9CI) (CA INDEX NAME)

RN 773143-20-7 CAPLUS

CN Benzamide, 3-chloro-4-fluoro-N-[cis-4-[[5-methyl-4-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773143-21-8 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773143-22-9 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-5-fluoro- (9CI) (CA INDEX NAME)

RN 773143-23-0 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4,5-trifluoro-(9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me}_2 \text{N} \\ \end{array}$$

RN 773143-24-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethy.lamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-difluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L25 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN

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2004:875032 CAPLUS Full-text

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141:350191

TITLE:

Preparation of quinoline, tetrahydroquinazoline, and pyrimidine derivatives as MCH antagonist for treatment

of CNS disorders

INVENTOR(S):

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Ning

PATENT ASSIGNEE(S):

Taisho Pharmaceutical Co. Ltd., Japan

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English

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PATENT NO. KIND DATE APPLICATION NO. DATE ----\_\_\_\_\_ 20040330 20041006 EP 2004-7651 EP 1464335 A2

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK

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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK

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ED Entered STN: 22 Oct 2004

GI

$$(T) p \xrightarrow{R^2} L \xrightarrow{Y}_{R^1} I \xrightarrow{T}_{N} L \xrightarrow{Y}_{R^1} II$$

$$(T) p \xrightarrow{N}_{N} L \xrightarrow{Y}_{R^1} III$$

$$NMe_2 \xrightarrow{NMe_2} H$$

Title compds. I, II, and III [wherein R1 = (un)substituted (cyclo)alkyl, AB (cyclo)alkenyl, alkynyl, aryl; R2 = H, halo, OH, carboxy, carbamoyl, amino, (un) substituted alkyl, alkoxy; T = independently H, halo, OH, carboxy, carbamoyl, amino, cyano, NO2, alkenyl, alkynyl, cycloalkyl, (un) substituted alkyl, alkoxy; p = 0-5; L = aminocycloalkylideneamino, etc.; Y = bond, CH2, CO2, OCO, SO2, CO, CS, CONH, CSNH, etc.; with provisos; and pharmaceutically acceptable salts, hydrates, or solvates thereof] were prepared as antagonists of melanin concentrating hormone (MCH), an endogenous ligand of G-protein coupled receptors (GPCRs). Examples include solution and solid phase general

IV

synthetic methods and phys. data for nearly 3400 invention compds. In addition, all exemplified compds. were assayed using high throughput functional screening to detect intracellular Ca2+ concns. for accessing GPCR activation. For instance, reaction of 2,4-dichloro-6-methylpyrimidine with dimethylamine gave 2-chloro-4-(dimethylamino)-6-methylpyrimidine (40%), which was coupled with cis-(4-aminocyclohexyl)carbamic acid tert-Bu ester (60%). Deprotection (72%), amidation, and workup provided the benzamide IV•TFA. The latter demonstrated MCH antagonist activity with an IC50 value of 7.6 nM. Thus, pharmaceutical compns. comprising I are useful for the prophylaxis or treatment of improving memory function, sleeping and arousal, anxiety, depression, mood disorders, seizure, obesity, diabetes, appetite and eating disorders, cardiovascular disease, hypertension, dyslipidemia, myocardial infarction, binge eating disorders including bulimia, anorexia, mental disorders including manic depression, schizophrenia, delirium, dementia, stress, cognitive disorders, attention deficit disorder, substance abuse disorders, and dyskinesias including Parkinson's disease, epilepsy, and addiction (no data). This is part II of three in a series covering the patent.

T71544-72-0P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2yl]amino]cyclohexyl]-3,5-bis(trifluoromethyl)benzamide
771545-85-8P, N-[cis-4-[[4-[Ethyl(methyl)amino]-5-methylpyrimidin2-yl]amino]cyclohexyl]-3,4-difluorobenzamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(intermediate; preparation of quinolines, quinazolines, and pyrimidines as melanin-concentrating hormone antagonist for treatment of CNS disorders) 771544-72-0 CAPLUS

Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN

CN

RN 771545-85-8 CAPLUS

CN Benzamide, N-[cis-4-[[4-(ethylmethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro-(9CI) (CA INDEX NAME)

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IT
     771543-92-1P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-
     yl]amino]cyclohexyl]-3,4-difluorobenzamide hydrochloride
     771543-93-2P, 3-Chloro-N-[cis-4-[[4-(dimethylamino)-5-
     methylpyrimidin-2-yl]amino]cyclohexyl]benzamide hydrochloride
     771543-95-4P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-
     yl]amino]cyclohexyl]-3-methylbenzamide trifluoroacetate
     771544-42-4P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-
     yl]amino]cyclohexyl]-3-methylbenzamide 771544-43-5P,
    N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]-3-
     methoxybenzamide 771544-44-6P, N-[cis-4-[[4-(Dimethylamino)-6-
     methylpyrimidin-2-yl]amino]cyclohexyl]-3-methoxybenzamide
     771544-45-7P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-
     yl]amino]cyclohexyl]-4-methylbenzamide 771544-46-8P,
     4-Chloro-N-[cis-4-[[4-(dimethylamino)-6-methylpyrimidin-2-
     yl]amino]cyclohexyl]benzamide 771544-47-9P, 3-Chloro-N-[cis-4-
     [[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl]benzamide
     771544-48-0P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-
     yl]amino]cyclohexyl]-3,4-difluorobenzamide 771544-49-1P,
     N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl]-4-
     (trifluoromethoxy) benzamide 771544-50-4P, N-[cis-4-[[4-
     (Dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl]-4-fluorobenzamide
     771544-68-4P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-
     yl]amino]cyclohexyl]-3,5-bis(trifluoromethyl)benzamide hydrochloride
     771544-99-1P, 3,5-Dibromo-N-[cis-4-[[5-methyl-4-
     (methylamino)pyrimidin-2-yl]amino]cyclohexyl]benzamide trifluoroacetate
     771545-01-8P, 3-Fluoro-N-[cis-4-[[5-methyl-4-
     (methylamino)pyrimidin-2-yl]amino]cyclohexyl]-5-(trifluoromethyl)benzamide
     trifluoroacetate 771545-03-0P, N-[cis-4-[[5-Methyl-4-
     (methylamino) pyrimidin-2-yl] amino] cyclohexyl] -4-
     (trifluoromethoxy) benzamide trifluoroacetate 771545-04-1P,
     N-[cis-4-[[5-Methyl-4-(methylamino)pyrimidin-2-yl]amino]cyclohexyl]-3,5-
     bis(trifluoromethyl)benzamide hydrochloride 771545-06-3P
     771545-08-5P, 3,4-Difluoro-N-[cis-4-[[4-(isopropylamino)-5-
     methylpyrimidin-2-yl]amino]cyclohexyl]benzamide trifluoroacetate
     771545-10-9P 771545-12-1P, 3,4-Difluoro-N-[cis-4-[[5-
     methyl-4-(methylamino)pyrimidin-2-yl]amino]cyclohexyl]benzamide
     trifluoroacetate 771545-18-7P, N-[cis-4-[[4-(Dimethylamino)-5,6-
     dimethylpyrimidin-2-yl]amino]cyclohexyl]benzamide trifluoroacetate
     771545-23-4P 771545-80-3P, N-[cis-4-[[4-(Dimethylamino)-
     5-ethylpyrimidin-2-yl]amino]cyclohexyl]-3,4-difluorobenzamide
     771545-83-6P, N-[cis-4-[[4-[Ethyl(methyl)amino]-5-methylpyrimidin-
     2-yl]amino]cyclohexyl]-3,4-difluorobenzamide hydrochloride
     771546-31-7P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-
     yl]amino]cyclohexyl]-3-methylbenzamide hydrochloride 771546-33-9P
     , N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]-3-
     (trifluoromethoxy) benzamide hydrochloride 771546-35-1P,
     N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]-4-
     (trifluoromethoxy) benzamide hydrochloride 771546-37-3P,
     3-Chloro-N-[cis-4-[[4-(dimethylamino)-5-methylpyrimidin-2-
     yl]amino]cyclohexyl]-4-(trifluoromethoxy)benzamide hydrochloride
     771546-39-5P, 4-Chloro-N-[cis-4-[[4-(dimethylamino)-5-
     methylpyrimidin-2-yl]amino]cyclohexyl]-3-(trifluoromethyl)benzamide
     hydrochloride 771546-41-9P, 3,5-Dichloro-N-[cis-4-[[4-
     (dimethylamino) -5-methylpyrimidin-2-yl]amino]cyclohexyl]benzamide
     hydrochloride 771546-43-1P, 3,4-Dichloro-N-[cis-4-[[4-
     (dimethylamino) -5-methylpyrimidin-2-yl]amino)cyclohexyl]benzamide
     hydrochloride 771546-47-5P, N-[cis-4-[[4-(Dimethylamino)-5-
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methylpyrimidin-2-yl]amino]cyclohexyl]-2-(methylsulfonyl)benzamide
771546-49-7P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-
yl]amino]cyclohexyl]-3-(methylsulfonyl)benzamide 771546-51-1P,
N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]-4-
(methylsulfonyl)benzamide 771546-53-3P, Methyl
2-[[[cis-4-[[4-(dimethylamino)-5-methylpyrimidin-2-
yl]amino]cyclohexyl]amino]carbonyl]benzoate 771546-55-5P, Methyl
3-[[[cis-4-[[4-(dimethylamino)-5-methylpyrimidin-2-
yl]amino]cyclohexyl]amino]carbonyl]benzoate 771546-57-7P,
2-[[[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-
yl]amino]cyclohexyl]amino]carbonyl]benzoic acid hydrochloride
771546-59-9P, 3-[[[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-
yl]amino]cyclohexyl]amino]carbonyl]benzoic acid hydrochloride
771546-61-3P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-
yl]amino]cyclohexyl]-3,4-difluorobenzamide hydrochloride
771546-63-5P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-
yl]amino]cyclohexyl]-3,5-bis(trifluoromethyl)benzamide hydrochloride
771546-65-7P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-
yl]amino]cyclohexyl]-4-(trifluoromethoxy)benzamide hydrochloride
771546-67-9P, 3-Chloro-N-[cis-4-[[4-(Dimethylamino)-6-
methylpyrimidin-2-yl]amino]cyclohexyl]-4-(trifluoromethoxy)benzamide
hydrochloride 771546-69-1P, 4-Chloro-N-[cis-4-[[4-
(dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl]benzamide
hydrochloride 771546-71-5P, 3,4-Dichloro-N-[cis-4-[[4-
(dimethylamino) -6-methylpyrimidin-2-yl]amino]cyclohexyl]benzamide
hydrochloride 771546-73-7P, N-[cis-4-[[4-(Dimethylamino)-6-
methylpyrimidin-2-yl]amino]cyclohexyl]-3,5-dimethoxybenzamide
771546-77-1P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-
yl]amino]cyclohexyl]-4-[2,2,2-trifluoro-1-hydroxy-1-
(trifluoromethyl)ethyl]benzamide hydrochloride 771546-79-3P,
3-Bromo-4-chloro-N-[cis-4-[[4-(dimethylamino)-5-methylpyrimidin-2-
yl]amino]cyclohexyl]benzamide hydrochloride 771549-06-5P,
N-[cis-4-[[4-(Dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl]-
3-methoxybenzamide 771549-30-5P, 3-Cyano-N-[cis-4-[[4-
(dimethylamino) -5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl]benzamide
771549-32-7P, N-[cis-4-[[4-(Dimethylamino)-5,6-dimethylpyrimidin-2-
yl]amino]cyclohexyl]-3-methylbenzamide 771549-34-9P,
3-Chloro-N-[cis-4-[[4-(dimethylamino)-5,6-dimethylpyrimidin-2-
yl]amino]cyclohexyl]benzamide 771549-36-1P, 3-Bromo-N-[cis-4-[[4-
(dimethylamino) -5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl]benzamide
771549-38-3P, N-[cis-4-[[4-(Dimethylamino)-5,6-dimethylpyrimidin-2-
yl]amino]cyclohexyl]-3,5-dimethoxybenzamide 771549-40-7P,
N-[cis-4-[[4-(Dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl]-
3,5-bis(trifluoromethyl)benzamide 771549-42-9P,
3,4-Dichloro-N-[cis-4-[[4-(dimethylamino)-5,6-dimethylpyrimidin-2-
yl]amino]cyclohexyl]benzamide 771549-44-1P, N-[cis-4-[[4-
(Dimethylamino) -5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl]-4-
(trifluoromethoxy) benzamide 771549-46-3P, 4-Cyano-N-[cis-4-[[4-
(dimethylamino) -5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl]benzamide
771549-48-5P, N-[cis-4-[[4-(Dimethylamino)-5,6-dimethylpyrimidin-2-
yl]amino]cyclohexyl]-4-methylbenzamide 771549-50-9P,
N-[cis-4-[[4-(Dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl]-
4-fluorobenzamide 771549-52-1P, 4-Chloro-N-[cis-4-[[4-
(dimethylamino) -5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl]benzamide
771549-54-3P, N-[cis-4-[[4-(Dimethylamino)-5,6-dimethylpyrimidin-2-
yl]amino]cyclohexyl]-2-methoxybenzamide 771549-56-5P,
4-Bromo-N-[cis-4-[[4-(dimethylamino)-5,6-dimethylpyrimidin-2-
yl]amino]cyclohexyl]benzamide 771549-58-7P, N-[cis-4-[[4-
(Dimethylamino) -5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl]-4-
(trifluoromethyl)benzamide 771549-60-1P, N-[cis-4-[[4-
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(Dimethylamino) -5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl]-4-
ethoxybenzamide 771549-62-3P, 4-Bromo-N-[cis-4-[[4-
(dimethylamino) -5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl]-3-
methylbenzamide 771549-64-5P, N-[cis-4-[[4-(Dimethylamino)-5,6-
dimethylpyrimidin-2-yl]amino]cyclohexyl]-3-fluoro-4-methylbenzamide
771549-66-7P, N-[cis-4-[[4-(Dimethylamino)-5,6-dimethylpyrimidin-2-
yl]amino]cyclohexyl]-4-fluoro-3-methylbenzamide 771549-68-9P,
N-[cis-4-[[4-(Dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl]-
3-ethylbenzamide 771549-70-3P, N-[cis-4-[[4-(Dimethylamino)-5,6-
dimethylpyrimidin-2-yl]amino]cyclohexyl]-3-(trifluoromethoxy)benzamide
771549-78-1P, N-[cis-4-[[4-(Dimethylamino)-5,6-dimethylpyrimidin-2-
yl]amino]cyclohexyl]-3,5-diethoxybenzamide 771549-80-5P,
N-[cis-4-[[4-(Dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl]-
3-ethoxybenzamide 771549-82-7P, N-[cis-4-[[4-(Dimethylamino)-5,6-
dimethylpyrimidin-2-yl]amino]cyclohexyl]-3-isopropoxybenzamide
771549-86-1P, N-[cis-4-[[4-(Dimethylamino)-5,6-dimethylpyrimidin-2-
yl]amino]cyclohexyl]-3,4-difluorobenzamide 771550-50-6P,
N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]-3-
(trifluoromethyl)benzamide 771550-52-8P, N-[cis-4-[[4-
(Dimethylamino) -5-methylpyrimidin-2-yl]amino]cyclohexyl]-3-fluorobenzamide
771550-54-0P, 3-Bromo-N-[cis-4-[[4-(dimethylamino)-5-
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N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]-4-
fluorobenzamide 771550-58-4P, N-[cis-4-[[4-(Dimethylamino)-5-
methylpyrimidin-2-yl]amino]cyclohexyl]-3,5-dimethoxybenzamide
771550-60-8P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-
yl]amino]cyclohexyl]-2,4-difluorobenzamide 771550-62-0P,
N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]-2,5-
difluorobenzamide 771550-64-2P, N-[cis-4-[[4-(Dimethylamino)-5-
methylpyrimidin-2-yl]amino]cyclohexyl]-2,3,4-trifluorobenzamide
771550-66-4P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-
yl]amino]cyclohexyl]benzamide 771550-68-6P, 4-tert-Butyl-N-[cis-
4-[[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]benzamide
771550-70-0P, 4-Butyl-N-[cis-4-[[4-(dimethylamino)-5-
methylpyrimidin-2-yl]amino]cyclohexyl]benzamide 771550-72-2P,
4-Chloro-N-[cis-4-[[4-(dimethylamino)-5-methylpyrimidin-2-
yl]amino]cyclohexyl]benzamide 771550-74-4P, 3-Cyano-N-[cis-4-[[4-
(dimethylamino) -5-methylpyrimidin-2-yl]amino]cyclohexyl]benzamide
771550-76-6P, 4-Cyano-N-[cis-4-[[4-(dimethylamino)-5-
methylpyrimidin-2-yl]amino]cyclohexyl]benzamide 771550-78-8P,
N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]-2-
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(dimethylamino) -5-methylpyrimidin-2-yl]amino]cyclohexyl]benzamide
771550-82-4P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-
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N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]-4-
methoxybenzamide 771550-86-8P, 2-Bromo-N-[cis-4-[[4-
(dimethylamino) -5-methylpyrimidin-2-yl]amino]cyclohexyl]benzamide
771550-88-0P, 2-Chloro-N-[cis-4-[[4-(dimethylamino)-5-
methylpyrimidin-2-yl]amino]cyclohexyl]benzamide 771550-90-4P,
N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]-2-
fluorobenzamide 771550-92-6P, N-[cis-4-[[4-(Dimethylamino)-5-
methylpyrimidin-2-yl]amino]cyclohexyl]-2-methylbenzamide
771550-94-8P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-
yl]amino]cyclohexyl]-2-(trifluoromethyl)benzamide 771550-96-0P,
N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]-4-
fluoro-3-(trifluoromethyl)benzamide 771550-98-2P,
4-Bromo-N-[cis-4-[[4-(dimethylamino)-5-methylpyrimidin-2-
yl]amino]cyclohexyl]-3-methylbenzamide 771551-00-9P,
N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]-4-
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ethoxybenzamide 771551-02-1P, 3-(Dimethylamino)-N-[cis-4-[[4-
(dimethylamino) -5-methylpyrimidin-2-yl]amino]cyclohexyl]benzamide
771551-04-3P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-
yl]amino]cyclohexyl]-4-fluoro-3-methylbenzamide 771551-06-5P,
N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]-3-
fluoro-4-methylbenzamide 771551-08-7P, N-[cis-4-[[4-
(Dimethylamino) -5-methylpyrimidin-2-yl]amino]cyclohexyl] -3-ethylbenzamide
771551-12-3P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-
yl]amino]cyclohexyl]-3-ethoxybenzamide 771551-14-5P,
N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]-3-
isopropoxybenzamide 771551-16-7P, N-[cis-4-[[4-(Dimethylamino)-5-
methylpyrimidin-2-yl]amino]cyclohexyl]-3,5-diethoxybenzamide
771551-18-9P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-
yl]amino]cyclohexyl]-3-fluoro-5-(trifluoromethyl)benzamide
771551-20-3P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-
yl]amino]cyclohexyl]-3-fluoro-4-(trifluoromethyl)benzamide
771551-22-5P, 3-Chloro-N-[cis-4-[[4-(dimethylamino)-5-
methylpyrimidin-2-yl]amino]cyclohexyl]-4-fluorobenzamide
771551-24-7P, 3,5-Dibromo-N-[cis-4-[[4-(dimethylamino)-5-
methylpyrimidin-2-yl]amino]cyclohexyl]benzamide 771551-26-9P,
N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]-3,5-
dimethylbenzamide 771551-28-1P, 4-Chloro-N-[cis-4-[[4-
(dimethylamino) -5-methylpyrimidin-2-yl]amino]cyclohexyl] -3-methylbenzamide
771551-30-5P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-
yl]amino]cyclohexyl]-4-methoxy-3-(trifluoromethyl)benzamide
771551-32-7P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-
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771551-58-7P, 4-Butyl-N-[cis-4-[[4-(dimethylamino)-6-
methylpyrimidin-2-yl]amino]cyclohexyl]benzamide 771551-60-1P,
N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl]-3-
fluorobenzamide 771551-62-3P, N-[cis-4-[[4-(Dimethylamino)-6-
methylpyrimidin-2-yl]amino]cyclohexyl]-3-(trifluoromethyl)benzamide
771551-64-5P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-
yl]amino]cyclohexyl]-2-methoxybenzamide 771551-66-7P,
N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl]-4-
methoxybenzamide 771551-68-9P, 3-Cyano-N-[cis-4-[[4-
(dimethylamino) -6-methylpyrimidin-2-yl]amino]cyclohexyl]benzamide
771551-70-3P, 4-Cyano-N-[cis-4-[[4-(dimethylamino)-6-
methylpyrimidin-2-yl]amino]cyclohexyl]benzamide 771551-72-5P,
N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl]-3-
fluoro-4-(trifluoromethyl)benzamide 771551-74-7P,
N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl]-4-
fluoro-3-(trifluoromethyl)benzamide 771551-76-9P,
N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl]-3-
fluoro-5-(trifluoromethyl)benzamide 771551-78-1P,
3-Chloro-N-[cis-4-[[4-(dimethylamino)-6-methylpyrimidin-2-
yl]amino]cyclohexyl]-4-fluorobenzamide 771551-80-5P,
N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl]-4-
fluoro-3-methylbenzamide 771551-82-7P, N-[cis-4-[[4-
(Dimethylamino) -6-methylpyrimidin-2-yl]amino]cyclohexyl]-3-fluoro-4-
methylbenzamide 771551-84-9P, 3,5-Dichloro-N-[cis-4-[[4-
(dimethylamino) -6-methylpyrimidin-2-yl]amino]cyclohexyl]benzamide
771551-86-1P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-
yl]amino]cyclohexyl]-3-(trifluoromethoxy)benzamide 771551-88-3P,
N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl]-3,5-
difluorobenzamide 771551-90-7P, 4-Bromo-N-[cis-4-[[4-
(dimethylamino) -6-methylpyrimidin-2-yl]amino]cyclohexyl]-3-methylbenzamide
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771551-92-9P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-
     yl]amino]cyclohexyl]-3-ethylbenzamide 771551-94-1P,
    N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl]-4-
     ethoxybenzamide 771551-96-3P, N-[cis-4-[[4-(Dimethylamino)-6-
     methylpyrimidin-2-yl]amino]cyclohexyl]-4-(trifluoromethyl)benzamide
     771551-98-5P, 4-Bromo-N-[cis-4-[[4-(dimethylamino)-6-
     methylpyrimidin-2-yl]amino]cyclohexyl]benzamide 771552-00-2P,
    N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl]-4-
     ethylbenzamide 771552-02-4P, N-[cis-4-[[4-(Dimethylamino)-6-
     methylpyrimidin-2-yl]amino]cyclohexyl]-3,5-diethoxybenzamide
     771552-04-6P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-
     yl]amino]cyclohexyl]-3-ethoxybenzamide 771552-06-8P,
    N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl]-3-
     isopropoxybenzamide 771552-14-8P, N-[cis-4-[4-(Dimethylamino)-6-
     methylpyrimidin-2-yl]amino]cyclohexyl]-4-methoxy-3-
     (trifluoromethyl)benzamide 771552-16-0P, 4-Chloro-N-[cis-4-[[4-
     (dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl]-3-
     (trifluoromethyl)benzamide 771552-18-2P, N-[cis-4-[[4-
     (Dimethylamino) -6-methylpyrimidin-2-yl]amino]cyclohexyl]-3-methylbenzamide
     771552-20-6P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-
     yl]amino]cyclohexyl]-3,4,5-trimethoxybenzamide 771552-22-8P,
     N-[4-[[4-(Dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl]-3-
    nitrobenzamide 771552-26-2P, 3,4-Dichloro-N-[cis-4-[[4-
     (dimethylamino) -6-methylpyrimidin-2-yl]amino]cyclohexyl]benzamide
     771553-00-5P, 3,4-Dichloro-N-[cis-4-[[5-methyl-4-
     (methylamino)pyrimidin-2-yl]amino]cyclohexyl]benzamide
     771555-36-3P, N-[cis-4-(4-Dimethylamino-5-methylpyrimidin-2-
     ylamino)cyclohexyl]-3-methoxybenzamide hydrochloride 771555-45-4P
     , 3-Chloro-N-[cis-4-(4-dimethylamino-6-methylpyrimidin-2-
     ylamino)cyclohexyl]benzamide hydrochloride 771556-86-6P,
     3-Chloro-N-[cis-4-(4-dimethylamino-5-methylpyrimidin-2-ylamino)cyclohexyl]-
     4-fluorobenzamide hydrochloride 771556-89-9P,
     3-Chloro-N-[cis-4-(4-dimethylamino-6-methylpyrimidin-2-ylamino)cyclohexyl]-
     4-fluorobenzamide hydrochloride 771556-90-2P,
     N-{cis-4-(4-Dimethylamino-6-ethylpyrimidin-2-ylamino)cyclohexyl]-3,4-
     difluorobenzamide hydrochloride 771557-07-4P,
     N-[cis-4-[(4-Amino-5-methylpyrimidin-2-yl)amino]cyclohexyl]-3,5-
     bis(trifluoromethyl)benzamide hydrochloride 771557-21-2P,
     N-[cis-4-[[4-Methyl-6-(methylamino)pyrimidin-2-yl]amino]cyclohexyl]-4-
     (trifluoromethoxy) benzamide hydrochloride
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (melanin-concentrating hormone antagonist; preparation of quinolines,
quinazolines,
        and pyrimidines as melanin-concentrating hormone antagonist for treatment
of
        CNS disorders)
RN
     771543-92-1 CAPLUS
     Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-
CN
     pyrimidinyl]amino]cyclohexyl]-3,4-difluoro-, monohydrochloride (9CI)
     INDEX NAME)
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RN 771543-93-2 CAPLUS
CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

HCl

RN 771543-95-4 CAPLUS
CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2 pyrimidinyl]amino]cyclohexyl]-3-methyl-, mono(trifluoroacetate) (9CI) (CA
 INDEX NAME)

CM 1

CRN 771552-18-2 CMF C21 H29 N5 O

Relative stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 771544-42-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771544-43-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771544-44-6 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methoxy- (9CI) (CA INDEX NAME)

RN 771544-45-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771544-46-8 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me}_2 \\ \text{N} \end{array}$$

RN 771544-47-9 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771544-48-0 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro- (9CI) (CA INDEX NAME)

RN 771544-49-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771544-50-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771544-68-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-bis(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

RN 771544-99-1 CAPLUS
CN Benzamide, 3,5-dibromo-N-[cis-

CN Benzamide, 3,5-dibromo-N-[cis-4-[[5-methyl-4-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 771544-98-0 CMF C19 H23 Br2 N5 O

Relative stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 771545-01-8 CAPLUS
CN Benzamide, 3-fluoro-N-[cis-4-[[5-methyl-4-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]-5-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 771545-00-7 CMF C20 H23 F4 N5 O

Relative stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 771545-03-0 CAPLUS
CN Benzamide, N-[cis-4-[[5-methyl-4-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 771545-02-9 CMF C20 H24 F3 N5 O2

Relative stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 771545-04-1 CAPLUS

CN Benzamide, N-[cis-4-[[5-methyl-4-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]-3,5-bis(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

HCl

RN 771545-06-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(ethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 771545-05-2 CMF C20 H25 F2 N5 O

Relative stereochemistry.

CM 2

CRN 76-05-1

CMF C2 H F3 O2

RN 771545-08-5 CAPLUS

CN Benzamide, 3,4-difluoro-N-[cis-4-[[5-methyl-4-[(1-methylethyl)amino]-2-pyrimidinyl]amino]cyclohexyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 771545-07-4 CMF C21 H27 F2 N5 O

Relative stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 771545-10-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(cyclopropylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 771545-09-6 CMF C21 H25 F2 N5 O Relative stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 771545-12-1 CAPLUS

CN Benzamide, 3,4-difluoro-N-[cis-4-[[5-methyl-4-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 771545-11-0 CMF C19 H23 F2 N5 O

Relative stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 771545-18-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 771545-17-6 CMF C21 H29 N5 O

Relative stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 771545-23-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 771545-22-3 CMF C22 H28 F3 N5 O

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me}_2 \\ \text{N} \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 771545-80-3 CAPLUS
CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-ethyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771545-83-6 CAPLUS
CN Benzamide, N-[cis-4-[[4-(ethylmethylamino)-5-methyl-2 pyrimidinyl]amino]cyclohexyl]-3,4-difluoro-, monohydrochloride (9CI) (CA
 INDEX NAME)

HCl

RN 771546-31-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-33-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 771546-35-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)-, monohydrochloride

(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-37-3 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-39-5 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 771546-41-9 CAPLUS

CN Benzamide, 3,5-dichloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-43-1 CAPLUS

CN Benzamide, 3,4-dichloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-47-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-2-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-49-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-51-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-53-3 CAPLUS

CN Benzoic acid, 2-[[[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry,

RN 771546-55-5 CAPLUS

CN Benzoic acid, 3-[[[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 771546-57-7 CAPLUS

CN Benzoic acid, 2-[[[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]amino]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-59-9 CAPLUS

CN Benzoic acid, 3-[[[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]amino]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-61-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro-, monohydrochloride (9CI) (CAINDEX NAME)

RN 771546-63-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-bis(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

HC1

RN 771546-65-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-67-9 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)-, monohydrochloride

(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-69-1 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-71-5 CAPLUS

CN Benzamide, 3,4-dichloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-73-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-dimethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-77-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

HC1

RN 771546-79-3 CAPLUS

CN Benzamide, 3-bromo-4-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME).

Relative stereochemistry.

RN 771549-06-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-methoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-30-5 CAPLUS

CN Benzamide, 3-cyano-N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-32-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-34-9 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

RN 771549-36-1 CAPLUS

CN Benzamide, 3-bromo-N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-38-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-dimethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-40-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 771549-42-9 CAPLUS

CN Benzamide, 3,4-dichloro-N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-44-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$Me \longrightarrow Me$$

$$Me_2 N \longrightarrow N$$

$$Me_3 N \longrightarrow N$$

$$Me_4 \longrightarrow N$$

$$N \longrightarrow N$$

RN 771549-46-3 CAPLUS

CN Benzamide, 4-cyano-N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-48-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-4-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me}_2 \text{N} \end{array}$$

RN 771549-50-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-52-1 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-54-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-2-methoxy- (9CI) (CA INDEX NAME)

RN 771549-56-5 CAPLUS

CN Benzamide, 4-bromo-N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-58-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-60-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-4-ethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-62-3 CAPLUS

CN Benzamide, 4-bromo-N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-methyl- (9CI) (CA INDEX NAME)

RN 771549-64-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro-4-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-66-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro-3-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-68-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-ethyl- (9CI) (CA INDEX NAME)

RN 771549-70-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-78-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-diethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-80-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-ethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-82-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-(1-methylethoxy)- (9CI) (CA INDEX NAME)

RN 771549-86-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-50-6 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-52-8 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro- (9CI) (CA INDEX NAME)

RN 771550-54-0 CAPLUS

CN Benzamide, 3-bromo-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-56-2 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\mathsf{Me}_{2N} \mathsf{N} \mathsf{N} \mathsf{H}$$

RN 771550-58-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-dimethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-60-8 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-2,4-difluoro- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me}_2 \text{N} \end{array}$$

RN 771550-62-0 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-2,5-difluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-64-2 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-2,3,4-trifluoro-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-66-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-68-6 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 771550-70-0 CAPLUS

CN Benzamide, 4-butyl-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-72-2 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-74-4 CAPLUS

CN Benzamide, 3-cyano-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

RN 771550-76-6 CAPLUS

CN Benzamide, 4-cyano-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-78-8 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-2-methoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-80-2 CAPLUS

CN Benzamide, 4-bromo-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-82-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 771550-84-6 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-methoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-86-8 CAPLUS

CN Benzamide, 2-bromo-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-88-0 CAPLUS

CN Benzamide, 2-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

RN 771550-90-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-2-fluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-92-6 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-2-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-94-8 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\bigvee_{\mathsf{Me}_2\mathsf{N}}^{\mathsf{Ne}}\bigvee_{\mathsf{$$

RN 771550-96-0 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 771550-98-2 CAPLUS

CN Benzamide, 4-bromo-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-00-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-ethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-02-1 CAPLUS

CN Benzamide, 3-(dimethylamino)-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

RN 771551-04-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro-3-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me}_2 \text{N} \end{array}$$

RN 771551-06-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro-4-methyl-(9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{2N} \end{array}$$

RN 771551-08-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-ethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-12-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-ethoxy- (9CI) (CA INDEX NAME)

RN 771551-14-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(1-methylethoxy)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-16-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-diethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-18-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro-5-(trifluoromethyl)- (9CI) (CFINDEX NAME)

RN 771551-20-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-22-5 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-24-7 CAPLUS

CN Benzamide, 3,5-dibromo-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-26-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-28-1 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-30-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-methoxy-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-32-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 771551-34-9 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-56-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-58-7 CAPLUS

CN Benzamide, 4-butyl-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-60-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-62-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-64-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-2-methoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-66-7. CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-methoxy- (9CI) (CA INDEX NAME)

RN 771551-68-9 CAPLUS

CN Benzamide, 3-cyano-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-70-3 CAPLUS

CN Benzamide, 4-cyano-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-72-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 771551-74-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro-3-(trifluoromethyl)- (9CI) (CFINDEX NAME)

Relative stereochemistry.

RN 771551-76-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-78-1 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me}_{2N} \end{array}$$

RN 771551-80-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro-3-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-82-7 CAPLUS

CN Benzamide, N-[cis-4-{[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro-4-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-84-9 CAPLUS

CN Benzamide, 3,5-dichloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-86-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

RN 771551-88-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-difluoro-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-90-7 CAPLUS

CN Benzamide, 4-bromo-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-92-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-ethyl- (9CI) (CA INDEX NAME)

RN 771551-94-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-ethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-96-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-98-5 CAPLUS

CN Benzamide, 4-bromo-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771552-00-2 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-ethyl- (9CI) (CA INDEX NAME)

RN 771552-02-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-diethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771552-04-6 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-ethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771552-06-8 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(1-methylethoxy)- (9CI) (CA INDEX NAME)

RN 771552-14-8 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-methoxy-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771552-16-0 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771552-18-2 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771552-20-6 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4,5-trimethoxy- (9CI) (CA INDEX NAME)

RN 771552-22-8 CAPLUS

CN Benzamide, N-[4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-nitro-(9CI) (CA INDEX NAME)

RN 771552-26-2 CAPLUS

CN Benzamide, 3,4-dichloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771553-00-5 CAPLUS

CN Benzamide, 3,4-dichloro-N-[cis-4-[[5-methyl-4-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

RN 771555-36-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methoxy-, monohydrochloride (9CI) (CF INDEX NAME)

Relative stereochemistry.

RN 771555-45-4 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 771556-86-6 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 771556-89-9 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro-, monohydrochloride (9CI) (CAINDEX NAME)

Relative stereochemistry.

RN 771556-90-2 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-ethyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 771557-07-4 CAPLUS

CN Benzamide, N-[cis-4-[(4-amino-5-methyl-2-pyrimidinyl)amino]cyclohexyl]-3,5-bis(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

RN 771557-21-2 CAPLUS
CN Benzamide, N-[cis-4-[[4-methyl-6-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

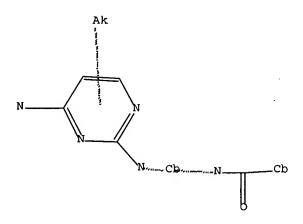
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Structure attributes must be viewed using STN Express query preparation.

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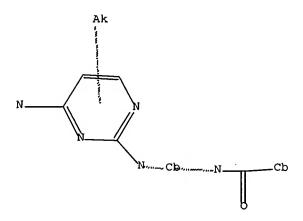
MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

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ACCESSION NUMBER:

146:45539 MARPAT Full-text

TITLE:

Preparation of aminopyridine derivatives as selective

Aurora-A inhibitors for treatment of cancer

INVENTOR(S):

Kato, Tetsuya; Kawanishi, Nobuhiko; Mita, Takashi;

Ohkubo, Mitsuru; Shimomura, Toshiyasu

PATENT ASSIGNEE(S):

Banyu Pharmaceutical Co., Ltd., Japan

SOURCE:

PCT Int. Appl., 151pp.

DOCUMENT TYPE:

CODEN: PIXXD2
Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.				KIND		DATE			APPLICATION NO. DATE								
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                                                             20050601
                                           WO 2005-JP19957
                                                            20051025
                                           JP 2004-315152
                                                             20041029
                                           US 2005-692537P
                                                            20050621
```

The title compds. I [A1 is (RbjCRbj')m2; A2 is (RaiCRai')m1; A3 is (Y2Rc)n1CO(Y3Rd)n2R; m1 and m2 each is 1, 2, or 3; n1 and n2 each is 0 or 1; i is an integer of 1 to m1; j is an integer of 1 to m2; R is optionally substituted aryl, heteroaryl, or cycloalkyl; Rai and Rai' each is hydrogen, alkyl; Rbj and Rbj' each is hydrogen, alkyl; Rc, Rd, and R1 each is hydrogen, alkyl; X1 is CH, CX1a, N; X1a is (un)substituted alkyl; X2 is CH, N, etc.; X3 is CH, CX3a, N; X3a is (un)substituted alkyl; X4 is CH or N; Y1, Y2, and Y3 are the same or different and each is CH or N; and W is a 5-membered aromatic heterocycle, e.g., pyrazole or thiazole] are prepared Thus, (5-bromothiazol-2-y1)-(6-(4-benzoylpiperazin-1-ylmethyl)pyridin-2-yl)amine was prepared in a multistep process from 2-aminothiazole and 2,6-dichloropyridine. Compds. of this invention showed IC50 values of 0.36 nM to 110 nM against Aurora-A; they showed IC50 values of 47 nM to 28000 nM against Aurora-B.

## MSTR 1

$$G1 = 11-9 13-15$$

$$G2 = CH$$
 $G3 = (1-3) 17$ 

G5 = 20-10 21-16

266-29(0)

G6 = NH

G9 = Ph (opt. substd. by 1 or more G23)

G10 = NH G11 = N / 31

39----G12

G12 = alkyl <containing 1-6 C> (opt. substd.)

G13 = N / 84

84---G30

G26 = 2-7 4-9

2 14 G11 G11

Patent location: disclosure

Note: substitution is restricted

Note: or pharmaceutically acceptable salts or esters

Note: additional oxo formation also disclosed

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 2 OF 24 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 146:20277 MARPAT <u>Full-text</u>

TITLE: Method for treating B cell regulated autoimmune

disorders

INVENTOR(S): Foley, Kevin; Bertin, John; Grant, Ethan P.

PATENT ASSIGNEE(S): Synta Pharmaceuticals Corp., USA

SOURCE: PCT Int. Appl., 327pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2006-US20908 20060526 WO 2006128172 A2 20061130 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM US 2007032493 A1 20070208 US 2006-442744 20060526 PRIORITY APPLN. INFO.: US 2005-685077P 20050526

The invention relates to a method for treating B-cell regulated autoimmune disorders using compds. that modulate the activity of c-Rel. In the examples, it was shown that N-(3-methylbenzylidene)-N'[6-morpholin-4-yl-2- (2-pyridin-2-ylethoxy)-pyrimidin-4-yl]hydrazine inhibited the accumulation of c-Rel in the nucleus and its binding to DNA and enhanced the apoptosis of B cells.

## MSTR 1

G1 = 7

Ģ8—Ģ6

G2 = N / 26

26---G13

G3 = 308

3681-3632

G8 = NH G13 = Me G21 = NH G22 = 1409

## P-C6H4-G71

G70 = C(0)

Patent location:

claim 1

Note:

substitution is restricted

Note:

also incorporates claims 43, 83, and 191 additional substitution also claimed

Note: addit:

Note:

or pharmaceutically acceptable salts, solvates,

clathrates, hydrates, polymorphs, or prodrugs

L29 ANSWER 3 OF 24 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

146:20264 MARPAT Full-text

TITLE:

Method for treating cancer

INVENTOR (S):

Bertin, John; Grant, Ethan P.

PATENT ASSIGNEE(S):

Synta Pharmaceuticals Corp., USA

SOURCE:

PCT Int. Appl., 354pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.				ND	DATE			APPLICATION NO. DATE									
								-									
WO 2006128129			A2		20061130			WO 2006-US20821 20060526									
W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,	KR,	
	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	
	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	
	SG,	SK,	SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	
	VN,	YU,	ZA,	ZM,	zw												
RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	
	IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	
	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,	
	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,	
	KG,	KZ,	MD,	RU,	TJ,	TM											
	0061 W:	00612812 W: AE, CN, GE, KZ, MZ, SG, VN, RW: AT, IS, CF, GM,	006128129 W: AE, AG, CN, CO, GE, GH, KZ, LC, MZ, NA, SG, SK, VN, YU, RW: AT, BE, IS, IT, CF, CG, GM, KE,	006128129 A: W: AE, AG, AL, CN, CO, CR, GE, GH, GM, KZ, LC, LK, MZ, NA, NG, SG, SK, SL, VN, YU, ZA, RW: AT, BE, BG, IS, IT, LT, CF, CG, CI, GM, KE, LS,	M: AE, AG, AL, AM, CN, CO, CR, CU, GE, GH, GM, HR, KZ, LC, LK, LR, MZ, NA, NG, NI, SG, SK, SL, SM, VN, YU, ZA, ZM, RW: AT, BE, BG, CH, IS, IT, LT, LU, CF, CG, CI, CM, GM, KE, LS, MW,	006128129 A2 2006  W: AE, AG, AL, AM, AT, CN, CO, CR, CU, CZ, GE, GH, GM, HR, HU, KZ, LC, LK, LR, LS, MZ, NA, NG, NI, NO, SG, SK, SL, SM, SY, VN, YU, ZA, ZM, ZW  RW: AT, BE, BG, CH, CY, IS, IT, LT, LU, LV, CF, CG, CI, CM, GA, GM, KE, LS, MW, MZ,	006128129 A2 20061130 W: AE, AG, AL, AM, AT, AU, CN, CO, CR, CU, CZ, DE, GE, GH, GM, HR, HU, ID, KZ, LC, LK, LR, LS, LT, MZ, NA, NG, NI, NO, NZ, SG, SK, SL, SM, SY, TJ, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, IS, IT, LT, LU, LV, MC, CF, CG, CI, CM, GA, GN,	006128129 A2 20061130 W: AE, AG, AL, AM, AT, AU, AZ, CN, CO, CR, CU, CZ, DE, DK, GE, GH, GM, HR, HU, ID, IL, KZ, LC, LK, LR, LS, LT, LU, MZ, NA, NG, NI, NO, NZ, OM, SG, SK, SL, SM, SY, TJ, TM, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, IS, IT, LT, LU, LV, MC, NL, CF, CG, CI, CM, GA, GN, GQ, GM, KE, LS, MW, MZ, NA, SD,	006128129 A2 20061130 WC W: AE, AG, AL, AM, AT, AU, AZ, BA, CN, CO, CR, CU, CZ, DE, DK, DM, GE, GH, GM, HR, HU, ID, IL, IN, KZ, LC, LK, LR, LS, LT, LU, LV, MZ, NA, NG, NI, NO, NZ, OM, PG, SG, SK, SL, SM, SY, TJ, TM, TN, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, IS, IT, LT, LU, LV, MC, NL, PL, CF, CG, CI, CM, GA, GN, GQ, GW, GM, KE, LS, MW, MZ, NA, SD, SL,	006128129 A2 20061130 WO 200 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, GE, GH, GM, HR, HU, ID, IL, IN, IS, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, SG, SK, SL, SM, SY, TJ, TM, TN, TR, VN, YU, ZA, ZM, ZW RW: AT, BE, 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PT, RO, SE, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG,	006128129 A2 20061130 W0 2006-US20821 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,	006128129 A2 20061130 W0 2006-US20821 2006 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,	006128129 A2 20061130 WO 2006-US20821 20060526 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,	006128129 A2 20061130 WO 2006-US20821 20060526 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,	

PRIORITY APPLN. INFO.:

US 2005-685056P 20050526 US 2005-720357P 20050923

AB The invention relates to a method for treating cancers using compds. that modulate the activity of c-Rel.

## MSTR 1

Ģ8——Ģ6

G2 = N / 26

26---G13

G3 = 308

3681 3632

= NHG8 · = Me G13 G21 = NHG22 = 1409

P-C6H4-NH-G70-p-C6H4-G71

G70 = C(0)

Patent location:

claim 1

Note:

substitution is restricted

Note:

also incorporates claims 41, 81, and 189

Note: additional substitution also claimed

Note:

or pharmaceutically acceptable salts, solvates, clathrates, hydrates, polymorphs, or prodrugs

L29 ANSWER 4 OF 24 MARPAT COPYRIGHT 2007 ACS on STN

(ALL HITS ARE ITERATION INCOMPLETES)

ACCESSION NUMBER:

145:167276 MARPAT Full-text

TITLE:

Preparation of triazolopyrimidine derivatives as serine-tyrosine and tyrosine kinases inhibitors Ludovici, Donald W.; Connors, Richard W.; Coats,

INVENTOR (S):

Steven J.; Liu, Li; De Corte, Bart L.; Johnson, Dana

L.; Schulz, Mark J.

PATENT ASSIGNEE(S):

Janssen Pharmaceutica N.V., Belg.

SOURCE:

PCT Int. Appl., 97 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE -----\_\_\_\_\_ \_\_\_\_\_\_ A2 20060720 WO 2006-US999 20060111 WO 2006076442

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM US 2007015207 US 2006-329642 A1 20070118 20060111 US 2005-644466P 20050114

PRIORITY APPLN. INFO.:

US 2005-644466P 20050114

AB Title compds. represented by the formula I [wherein R1 = (un) substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, (cyclo) alkyl, hydroxy, amino, etc.; R3 = aryl(alkyl), cycloalkyl, quinolinyl, etc.; and pharmaceutically acceptable salts thereof] were prepared as serine-tyrosine and tyrosine kinases inhibitors. For example, II was provided in a multi-step synthesis starting from reaction of 3-dimethylamino-1-propanol with 1-fluoro-4-nitrobenzene. I were tested for effects on the tyrosine kinase activity of Focal Adhesion Kinase (FAK) in vitro FAK ELISA kinase assay and CAK (Cyclin Dependent Kinase Activating Kinase) assay.

#### MSTR 1 ITERATION INCOMPLETE

G1 = 12 / carbocycle <containing 7-11 C, aromatic,
6 normalized bonds, bicyclic, (0-1) 3-membered,
(0-1) 4-membered, (0-1) 5-membered, (1-2) 6-membered,
(0-1) 7-membered rings only> / heterocycle <containing 3-11
atoms, 1 or more heteroatoms, zero or more N,
zero or more O, zero or more S (no other heteroatoms),
aromatic, 6 or more normalized bonds, bicyclic,
(0-1) 3-membered, (0-1) 4-membered, (0-1) 5-membered,
(1-2) 6-membered, (0-1) 7-membered rings only> /
(Specifically claimed: 231 / 286)

G2 = phenylene (opt. substd. by G3)
G3 = alkyl <containing 1-6 C> /
 alkoxy <containing 1-6 C> / cycloalkyl <containing 3-7 C> /
 OH / NH2 / alkylamino <containing 1-6 C> /
 dialkylamino <each alkyl containing 1-6 C> /

(Specifically claimed: OMe) G4 = alkyl <containing 1-8 C> (opt. substd. by (1-3) G5) / alkenyl <containing 2-8 C> (opt. substd. by G14) / alkynyl <containing 2-8 C> (opt. substd. by G14) / alkoxy <containing 1-8 C> (opt. substd. by (1-3) G15) / 31 / alkoxycarbonyl <containing 1-6 C> (opt. substd. by (1-3) G15) / CONH2 / alkylaminocarbonyl <containing 1-6 C> / dialkylaminocarbonyl <each alkyl containing 1-6 C> / aryl / tetrazolyl (opt. substd. by (1-3) alkyl <containing 1-6 C>) / thiadiazolyl (opt. substd. by (1-3) alkyl <containing 1-6 C> ) / oxazolyl (opt. substd. by (1-3) alkyl <containing 1-6 C> ) / pyrimidinyl (opt. substd. by (1-3) alkyl <containing 1-6 C>) / 37 / (Specifically claimed: 185 / 201 / 200 / 211 / 224 / 241 / Ph / 242 / 247 / 253 / 257 / CONHMe / 269 / OMe / 272 / 289 / 299 / 305 / 317 / 318 / 336 / 350 / 360 / 361 / 370 / 379)

$$280$$
 CH2-CH2-CH2-N Me  $281$  CH2 $\frac{1}{4}$  Me HC Me Me

$$_{2}$$
  $_{2}$   $_{5}$   $_{6}$   $_{9}$ 

$$_{2}$$
GGO)\_NH\_\_CH2\_CH2\_N

Et

 $_{30}$ S

N\_\_CH2\_Ph

 $_{31}$ 7

Me

$$_{3}$$
 $_{0}$ CH2-CH2-CH2-N

Et

 $_{1}$ CH2-CH2-CH2-OH

Et

 $_{1}$ CH2-CH2-OH

G5 = NH2 / 14 / heterocycle <containing 1-4 heteroatoms, 1 or more N, zero or more O, zero or more S (no other heteroatoms), attached through 1 or more N, monocyclic> (opt. substd. by (1-3) G11) / 20 / 23 / alkoxycarbonyl <containing 1-6 C>

$$G6 = NH / 16$$

1<sup>№</sup>-----G7

dialkylamino <each alkyl containing 1-6 C> /
heterocycle <containing 5-8 atoms, 1 or more heteroatoms,
1 or more N, zero or more O, zero or more S (no other
heteroatoms), attached through 1 or more N,
5- to 8-membered monocyclic ring>
(opt. substd. by (1-3) G9) / 18 / OH /
alkoxycarbonyl <containing 1-6 C> / CO2H / aryl /
heterocycle <containing 5-6 atoms, 1-3 heteroatoms, 1-2 N,
0-1 O, 0-1 S (no other heteroatoms), aromatic,
2 or more double bonds, 5- to 6-membered monocyclic ring>
(opt. substd. by (1-3) alkyl <containing 1-6 C>)

1810=0

- G9 = alkyl <containing 1-6 C> /
   alkoxy <containing 1-6 C> / alkyl <containing 1-6 C>
   (substd. by 1 or more aryl) / alkoxycarbonyl <containing 1-6
   C> / CO2H / OH
- G10 = heterocycle <containing 5-8 atoms, 1 or more heteroatoms, 1 or more N, zero or more O, zero or more S (no other heteroatoms), attached through 1 or more N, 5- to 8-membered monocyclic ring> (opt. substd. by (1-3) G9)
- G11 = alkyl <containing 1-6 C>
   (opt. substd. by 1 or more aryl) /
   alkoxycarbonyl <containing 1-6 C> / CO2H / OH
- G12 = heterocycle <containing 1-4 heteroatoms,
   1 or more N, zero or more O, zero or more S (no other
   heteroatoms), attached through 1 or more N, monocyclic>
   (opt. substd. by (1-3) G11)
- G13 = alkyl <containing 1-6 C>
- G14 = aryl / alkoxycarbonyl <containing 1-6 C>
- G15 = NH2 / 27 / heterocycle <containing 1-4 heteroatoms, 1 or more N, zero or more O, zero or more S (no other heteroatoms), attached through 1 or more N, monocyclic> (opt. substd. by (1-3) G11) / 29 / OH
- G16 = NH2 / 33 / heterocycle <containing 1-4 heteroatoms, 1 or more N, zero or more O, zero or more S (no other heteroatoms), attached through 1 or more N, monocyclic> (opt. substd. by (1-3) G11) / 35 / OH

3G6-G7 3G12=0

G17 = S(0) / S02

G18 = NH2 / alkylamino <containing 1-6 C> /
dialkylamino <each alkyl containing 1-6 C> /
heterocycle <containing 5-8 atoms, 1 or more heteroatoms,
1 or more N, zero or more O, zero or more S (no other
heteroatoms), attached through 1 or more N,

5- to 8-membered monocyclic ring> (opt. substd. by (1-3) G9) / 39

3G10=0

$$G25$$
  $G25$   $G25$ 

G20 = aryl (opt. substd. by (1-3) G21)
G21 = alkyl <containing 1-6 C> /
 alkenyl <containing 2-6 C> / alkynyl <containing 2-6 C> /
 alkoxy <containing 1-6 C> / OH / CN / F / CO2H /
 cycloalkyl <containing 3-7 C> / NH2 / 42 /
 heterocycle <containing 1-4 heteroatoms, 1 or more N,
 zero or more O, zero or more S (no other heteroatoms),
 attached through 1 or more N, monocyclic>
 (opt. substd. by (1-3) G11) / 44 / 46 /
 alkoxycarbonyl <containing 1-6 C> / 48 / pyrimidinyl /
 thiadiazolyl / tetrazolyl / pyrazolyl / oxazolyl

496-G7 4912=0 46(0)-G16 4917-G18

G22 = OH / NH2 / 50 / heterocycle <containing 1-4 heteroatoms, 1 or more N, zero or more O, zero or more S (no other heteroatoms), attached through 1 or more N, monocyclic> (opt. substd. by (1-3) G11) / 52 / 54 / alkoxycarbonyl <containing 1-6 C> / CO2H / 56

566-G7 5612=0 5610-G16 5617-G23

G23 = NH2 / alkylamino <containing 1-6 C> /
dialkylamino <each alkyl containing 1-6 C> /
heterocycle <containing 5-8 atoms, 1 or more heteroatoms,
1 or more N, zero or more O, zero or more S (no other
heteroatoms), attached through 1 or more N,
5- to 8-membered monocyclic ring>
(opt. substd. by (1-3) G9) / 58 / alkyl <containing 1-6 C>

5810=0

G24 = alkyl <containing 1-6 C> /
 alkenyl <containing 2-6 C> / alkynyl <containing 2-6 C> /
 alkoxy <containing 1-6 C> / OH / CN / F / CO2H /
 cycloalkyl <containing 3-7 C> / NH2 / 66 /
 heterocycle <containing 1-4 heteroatoms, 1 or more N,

zero or more 0, zero or more S (no other heteroatoms), attached through 1 or more N, monocyclic> (opt. substd. by (1-3) G11) / 60 / 62 / alkoxycarbonyl <containing 1-6 C> / 68 / pyrimidinyl / thiadiazolyl / tetrazolyl / pyrazolyl / oxazolyl

$$G30 = 426 / 455 / 465 / CONHMe / SO2NH2 / 489 / 532$$

Patent location:

Note:

claim 21

or pharmaceutically acceptable salts

Serial No.: 10/812,075 L29 ANSWER 5 OF 24 MARPAT COPYRIGHT 2007 ACS on STN 144:450735 MARPAT Full-text ACCESSION NUMBER: TITLE: Preparation of novel aminopyridine derivatives having selective Aurora-A protein kinase inhibitory effect INVENTOR(S): Ohkubo, Mitsuru; Kato, Tetsuya; Kawanishi, Nobuhiko; Mita, Takashi; Shimomura, Toshiyasu PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan PCT Int. Appl., 148 pp. SOURCE: CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE ---------\_\_\_\_\_ \_\_\_\_\_ 20060504 WO 2005-JP19957 20051025 WO 2006046734 **A2** WO 2006046734 20060921 **A**3 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM US 2006106029 US 2005-258447 20051025 A1 20060518 WO 2006-JP311179 20060530 WO 2006129842 A1 20061207 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, W: CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

JP 2004-315152 20041029 JP 2005-161156 20050601 US 2005-692537P 20050621 WO 2005-JP19957 20051025

The title compds. (I) or pharmaceutically acceptable salts or ester thereof [wherein m1, m2 = 1, 2, 3; n1, n2 = 0, 1; i = an integer of from 1 to m1; j = an integer of from 1 to m2; R = (un)substituted aryl, heteroaryl or cycloalkyl; Rai, Rai', Rbj, Rbj', Rc, Rd, Re = H, lower alkyl; X1 = CH, CX1a, N; wherein X1a = (un)substituted lower alkyl; X2 = CH, N; X3 = CH, N, CX3a; wherein X3a = (un)substituted lower alkyl; X4 = CH, N; 1 or 2 of X1-X4 is N; Y1, Y2, Y3 = CH, N; Z1, Z2 = CH, N; W = a 5-membered aromatic heterocycle of formula Q including pyrazole or thiazole; wherein W1 = CH, N, NH, O, S; W2 = CH, CW2a, N, NW2b, O, S; wherein W2a, W2b = H, halo, cyano, C1-2 alkyl, C3-5 cycloalkyl, 1 or 2 halo-substituted C1-2 alkyl] are prepared These compds. are selective inhibitors of Aurora-A protein kinase over Aurora-B protein

kinase and exhibit synergistic anticancer activity in combination with other anticancer agents. An anticancer agent containing the compound I, and the combined use of the above anticancer agent with another anticancer agent are also disclosed. Thus, a mixture of 2.70 g 6-chloromethyl-N-(thiazol-2-yl)pyridin-2-amine, 4.00 g 1-(3-chloro-2-fluorobenzoyl)piperazine, and 6.25 mL N,N- diisopropylethylamine, and 30 mL DMF was stirred at 90° for 2 h to give, after workup and silica gel chromatog., 6-[(4-(3-chloro-2-fluorobenzoyl)piperazin-1-yl)methyl]-N-thiazol-2-ylpyridin-2-amine (II; R = H). II (R = H) and II (R = 2-methyl-2H-tetrazol-5-yl) showed IC50 of 0.67 and 0.32 nM against Aurora-A protein kinase, resp., and 440 and 190 nM against Aurora-B protein kinase, resp. They showed IC50 of 11.00 and 0.21  $\mu$ M against human cervical cancer cell (HeLa S3), resp., and also showed synergistic antiproliferative activity against HeLa S3 cells in combination with paclitaxel.

#### MSTR 1

$$G14-NH-G26-G10-1G1-1G5-1G9$$

$$G1 = 11-9 13-15$$

$$G2 = CH$$
 $G3 = (1-3) 17$ 

$$G5 = 20-10 21-16$$

G12 = alkyl <containing 1-6 C> (opt. substd.)
G13 = N / CH
G26 = 2-7 4-9



Patent location: claim 1

Note: substitution is restricted

Note: or pharmaceutically acceptable salts or esters

Note: additional oxo formation also disclosed

L29 ANSWER 6 OF 24 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 144:226245 MARPAT Full-text

N-Phenyl-2-pyrimidinamine derivatives for the TITLE:

treatment of immunodeficiency disease-causing viral

infections

INVENTOR(S): Zeichner, Steven; Krishnan, Vyjayanthi

PATENT ASSIGNEE(S): ICES the Secretary, Department of Health and Human

Serv Government of the United States, As Represented,

USA

SOURCE: PCT Int. Appl., 94 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA7	CENT .	NO.		KI	ND	DATE			A.	PPLI	CATI	N NC	э.	DATE			
									-								
WO	2006	0173	53	A:	2	2006	0216		M	20	05-U	S249	22	2005	0713		
WO	2006	0173	53	A.	3	2006	0330										
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ŔΜ,	KΡ,	KR,	KZ,
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	$\mathtt{MD}$ ,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,
		NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,
		SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,
		ZA,	ZM,	zw													
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG,	BW,	GH,
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑŻ,	BY,
		KG,	KZ,	$\mathtt{MD}$ ,	RU,	TJ,	TM										

US 2004-588015P 20040713 PRIORITY APPLN. INFO.:

The invention discloses treatment of cells or humans carrying or infected with a virus capable of causing an immunodeficiency disease with particular compds., including N-phenyl-2-pyrimidinamine derivs. (Markush included), as well as medicaments comprising those compds. and uses thereof. Compds. of the invention include imatinib mesylate.

MSTR 1A

$$G5$$
 $N$ 
 $G30$ 
 $G6$ 
 $G7$ 

G1 = NH2

G5 = loweralkyl

= phenylene (opt. substd. by 1 or more G35)

G9 = NH

G11 = 0

G13 = bond

G14 = 199

1692-G20

= phenylene (opt. substd. by 1 or more G18)
= NH G17

G30

Patent location: claim 1

Note: or salts

#### MSTR 1B

$$G5$$
 $G5$ 
 $G5$ 
 $G791$ 
 $G6G6G6$ 
 $G6G797$ 

G1 = NH2

= loweralkyl G5

= 492-7 493-491 494-490

G7 = 188

G9 = NH G11 = O G13 = bond G14 = 199

1937-G20

G17 = phenylene (opt. substd. by 1 or more G18)

G30 = NH

Patent location: claim 1
Note: or salts

L29 ANSWER 7 OF 24 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

143:153303 MARPAT Full-text

TITLE:

A preparation of quinoline derivatives, useful as intermediates of receptor tyrosine kinase inhibitors

Chew, Warren; Papamichelakis, Maria; Wang, Youchu

INVENTOR(S):
PATENT ASSIGNEE(S):

Can.

SOURCE:

U.S. Pat. Appl. Publ., 22 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE		
	,		
US 2005159446	A1 2005072	1 US 2005-36408 20050114	
AU 2005206541	A1 2005080	4 AU 2005-206541 20050114	
CA 2553729	A1 2005080	4 CA 2005-2553729 20050114	
WO 2005070890	A2 20050804	4 WO 2005-US1384 20050114	
WO 2005070890	A3 2005110	3	
W: AE, AG,	AL. AM. AT. AU	, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,	
		, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,	
· ·		, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,	
· · · · · · · · · · · · · · · · · · ·			
· · · · · · · · · · · · · · · · · · ·		, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,	
•	• •	, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,	
TJ, TM,	TN, TR, TT, TZ	, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW	
RW: BW, GH,	GM, KE, LS, MW	, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,	,
AZ, BY,	KG, KZ, MD, RU	, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,	,
EE, ES,	FI, FR, GB, GR	, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,	,
		, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,	
· · · · · · · · · · · · · · · · · · ·	SN, TD, TG	, 20, 00, 00, 00, 00, 00, 00, 00, 00,	
•	•	8 EP 2005-711511 20050114	
		· ·	
	• • • • • • • • • • • • • • • • • • • •	, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,	
		, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK,	,
BA, HR,	IS, YU		

CN 2005-80007748 20050114 20070314 CN 1930128 Α NO 2006003501 Α 20060928 NO 2006-3501 20060801 IN 2006KN02266 Α. 20070525 IN 2006-KN2266 20060809 PRIORITY APPLN. INFO.: US 2004-537329P 20040116 WO 2005-US1384 20050114

OTHER SOURCE(S):

CASREACT 143:153303

The invention relates to a preparation of quinoline derivs. of formula I [wherein: G, R1, and R4 are independently selected from H, halogen, alkyl, alk(en/yn)yl, or hydroxymethyl, etc.; R2 is a leaving group; R3 is a protecting group; A is O, S, NH, or N(alkyl), etc.], useful as intermediates of receptor tyrosine kinase inhibitors (no biol. data). For instance, quinoline derivative II was prepared via intramol. heterocyclization of (phenylamino)propenoic acid amide III in the presence of phosphorus oxychloride.

MSTR 5

, 193<del>-1</del>964

G14 = 180

18653648

G15 = 209-129 213-304

G16 = N G17 = alkyl <containing 1-6 C> (opt. substd. by OH) / N3 / 296

변원 6 - G24-Ph

G18 = 181

1818T821

G21 = 265

G24 = C(0) G28 = NH

Patent location:

claim 20 or salts

Note:

substitution is restricted

L29 ANSWER 8 OF 24 MARPAT COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 143:115450 MARPAT Full-text

TITLE: Preparation of phosphodiesterase 4 inhibitors,

including N-substituted diarylamine analogs, useful as

cognition enhancers

INVENTOR(S): Schumacher, Richard; Hopper, Allen; Dunn, Robert;

Kuester, Erik; Tehim, Ashok; Renau, Thomas E.; Caroon,

Joan; Talamas, Francisco; Labadie, Sharada Memory Pharmaceuticals Corporation, USA

PATENT ASSIGNEE(S): SOURCE:

PCT Int. Appl., 136 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:
FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

P.	ATENT :		KII	ND.	DATE			A	PPLI	CATI	N NC	ο.	DATE				
-	- <b></b>																
W	0 2005	06145	58	A:	2	2005	0707		W(	20	04-U	5410	68	2004	1210		
W	0 2005	06145	58	A.	3	2005	1013										
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
•	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
	AZ, BY			KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
	AZ, BY EE, ES			FI,	FR,	GB,	GR,	HU,	IE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,
	EE, ES RO, SE				SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,
		MR,	NE,	SN,	TD,	TG	·										
А	U 2004	30385	55	A	1	2005	0707		Α	J 20	04-3	0385	5	2004	1210		
C.	A 2548	824		A:	1 .	2005	0707		C	A 20	04-2	5488	24	2004	1210		
	S 2005																
	P 1692													2004			
		AT,															
В	R 2004												2004	1210			
C	N 1922	144		Α		2007	0228		Cl	N 20	04-8	0041	276	2004	1210		
J	P 2007	57	Т		2007	0531		J:	P 20	06-5	4394	3	2004	1210			
PRIORI									S 20	03-5	2848	6 P	2003	1211			
									W	0 20	04-U	S410	68	2004	1210		

AB PDE4 inhibition (no data) is achieved by novel compds., e.g., N-substituted diarylamine analogs (shown as I; variables defined below; e.g. 3-[N-[6-(cyclopropylmethoxy)-5-methoxypyridin-2-yl]-N-[(pyridin-3-

yl)methyl]amino]benzoic acid (shown as II)). For I: A, B and D are each N or CR5; R1 is halogen, alkyl having 1-4 C atoms, halogenated alkyl having 1-4 C atoms, OR6, COR6, CONR6, or NR6COR10; R2 is halogen, alkyl having 1-4 C atoms, halogenated alkyl having 1-4 C atoms, OR7, COR6, CONR6, or NR6COR10; R3 is C1-8 (un)substituted (un)branched alkyl, a partially unsatd. C5-14 carbocycle-alkyl, C7-19 arylalkyl or heteroarylalkyl group; R4 is C3-10 cycloalkyl, C6-14 aryl, heteroaryl having 5-10 ring atoms, a heterocyclic group, a heterocyclealkyl group; addnl. details including provisos are given in the claims. Although the methods of preparation are not claimed, .apprx.15 example prepns. of I and intermediates are included. For example, N-(3-chlorophenyl)-N-[5-methoxy-6-[((3R)-tetrahydrofuran-3-yl)oxy]pyridin-2-yl]pyridine-3-methanamine was prepared from 6-iodo-3-methoxy-2-[((3R)-tetrahydrofuran-3-yl)oxy]pyridine and 3-chlorophenyl-N-(3-pyridylmethyl)amine.

#### MSTR 1

$$G_{1}^{G_{1}}$$
  $G_{1}^{G_{1}}$   $G_{1}^{G_{1}}$   $G_{1}^{G_{1}}$   $G_{1}^{G_{1}}$ 

G1 = N / 10

16----G2

G3 = alkyl <containing 1-4 C> (opt. substd. by 1 or more G29) / 12

164-C(0)-G6

G4 = NH

G17 = Ph (opt. substd. by 1 or more G22)

G22 = 125

1936-C(O)-G24

G24 = Ph (opt. substd.)

G26 = NH

Patent location: claim 1

Note: or pharmaceutically acceptable salts

Note: substitution is restricted

Note: also incorporates claims 2 and 3

L29 ANSWER 9 OF 24 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

143:1283 MARPAT Full-text

TITLE:

Materials and methods using a synergistic combination of an inhibitor of mammalian Target of Rapamycin (mTOR) and an inhibitor of Platelet-Derived Growth Factor Receptor (PDGF-R) for inhibiting neointimal

hyperplasia

INVENTOR(S):

Hayry, Pekka Juha

CODEN: PIXXD2

PATENT ASSIGNEE(S):

Oy Helsinki Transplantation R & D Ltd., Finland

SOURCE:

PCT Int. Appl., 102 pp.

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA?	CENT 1	NO.		KI	ND I	DATE			A.	PPLI	CATI	N NC	o. :	DATE			
									-								
WO	2005	0490	21	A:	1 :	2005	0602		W	200	04-E	P124	06	2004	1103		
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	KZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	zw
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
		ΑZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IS,	IT,	LU,	MC,	NL,	PL,	PT,	RO,
		SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,
		NE,	SN,	TD,	TG												

PRIORITY APPLN. INFO.:

US 2003-517165P 20031103

The present invention discloses a combination of an inhibitor of a mammalian Target of Rapamycin (mTOR) and an inhibitor of a Platelet-Derived Growth Factor Receptor (PDGF-R) for treating or preventing neointimal hyperplasia. The effect is synergistic and long-lasting. In some embodiments, the mTOR inhibitor comprises rapamycin and the PDGF-R inhibitor comprises imatinib mesylate. The inhibitors may administered in a common mixture or as a sep. composition, they may also be administered in any number of different ways including orally, e.g., by pill, or locally, e.g., by means of a stent coating.

## MSTR 2

$$\begin{array}{c} G1 \\ G2 \\ \hline \\ G2 \\ \end{array} \begin{array}{c} N \\ NH \\ \end{array}$$

G1 = NH2

= loweralkyl G2

G3 = 132

194-G6

```
G4
       = phenylene (opt. substd. by G22)
G6
       = 111
G10
       = Ph (opt. substd. by 1 or more G19)
G12
       = 0
G16
       = NH
Patent location:
                            claim 8
                            substitution is restricted
Note:
                            or salts
Note:
REFERENCE COUNT:
                         8
                               THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
L29 ANSWER 10 OF 24 MARPAT COPYRIGHT 2007 ACS on STN
                         142:6552 MARPAT Full-text
ACCESSION NUMBER:
                         Preparation of pyrimidine derivatives possessing
TITLE:
                         cell-cycle inhibitory activity
                         Heaton, David William; Thomas, Andrew Peter
INVENTOR(S):
PATENT ASSIGNEE(S):
                         Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE:
                         PCT Int. Appl., 53 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                         English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                 KIND DATE
                                          APPLICATION NO. DATE
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                                          _____
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                           _____
                                          WO 2004-GB2019 20040512
     WO 2004101564
                     A1
                           20041125
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             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
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             SN, TD, TG
                          20060322
                                           EP 2004-732342
     EP 1636227
                                                            20040512
                       A1
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
     JP 2007500738
                                           JP 2006-530484
                                                            20040512
                            20070118
                     Т
                            20061012
                                           US 2005-556607
                                                            20051114
     US 2006229329
                       A1
PRIORITY APPLN. INFO.:
                                           GB 2003-11274
                                                            20030516
                                           WO 2004-GB2019
                                                            20040512
```

OTHER SOURCE(S): CASREACT 142:6552

AB Title compds. I [A = carbocyclyl, heterocyclyl; R1 = halo, NO2, CN, OH, etc.; p = 0-4; R2 = sulfamoyl, etc.; q = 0-2; R3 = halo, NO2, CN, OH, CF3, etc.; n = 0-2; R4-5 = H, halo, NO2, CN, OH, etc.; m = 0-4] are prepared For instance,

2-anilino-4-(6,7-dihydro-5H-pyrrolo[1,2-a]imidazol-3- yl)pyrimidine is prepared from 2-anilino-4-[1-(2-oxopyrrolidinyl)-2-(dimethylamino)ethenyl]pyrimidine (preparation given) and ammonium trifluoroacetate (NMP, 140°, 18 h). Selected examples have IC50 in the range of 13-42 nM for Cdk2-Cyclin E kinase. I are useful as antiproliferative agents.

#### MSTR 1

G1 = phenylene (opt. substd. by (1-4) G2) G3 = 28 / 31 / 34 / 36 / 43  $_{2}$ G6—G9—G12  $_{3}$ G9—G6—G4  $_{3}$ G5—G4  $_{3}$ G6—G9—G13

4G6-G9-G10-G11

G4 = 74

7822-G8

G6 = NH

G8 = 54 / 57 / 62 / 65

G19-G20-G9 G19-G9-G20 G19-G21-G20-G9 G19-G21-G9-G20

G9 = C(0)

G13 = Ph (opt. substd.)

G15 = NO2 / alkyl <containing 1-3 C>

Patent location:

claim 1 ·

Note: or pharmaceutically acceptable salts or in vivo

hydrolyzable esters

Note: also incorporates claim 12

MSTR 5

G1 = phenylene (opt. substd. by (1-4) G2) G3 = 28 / 31 / 34 / 36 / 43

266-G9-G12 369-G6-G4 365-G4 366-G9-G13

4G6-G9-G10-G11

G4 = 74

7922-G8

G6 = NH

G8 = 54 / 57 / 62 / 65

G19-G20-549 G19-G9-5420 G19-G21-G20-649 G19-G21-G9-6520

G9 = C(0)

G13 = Ph (opt. substd.)

G15 = NO2 / alkyl <containing 1-3 C>

Patent location: claim 12

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 11 OF 24 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 141:424210 MARPAT Full-text

TITLE: Preparation of 2-anilino-4-(imidazol-5-yl)pyrimidine

derivatives and their use as cdk (cdk2) kinase

inhibitors

INVENTOR(S): Thomas, Andrew Peter

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:
FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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PATENT NO.
                           DATE
                     KIND
                                          APPLICATION NO. DATE
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     WO 2004101549
                           20041125
                      A1
                                          WO 2004-GB2025
                                                           20040512
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
            CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
            GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
            LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
            NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
            TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
        RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
            AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
            EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
            SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
            SN, TD, TG
    EP 1631566
                      Α1
                           20060308
                                          EP 2004-732343
                                                           20040512
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
     JP 2006528962
                           20061228
                                          JP 2006-530486
                      Т
                                                           20040512
     US 2007037839
                           20070215
                                          US 2005-556561
                      A1
                                                           20051114
PRIORITY APPLN. INFO.:
                                          GB 2003-11276
                                                           20030516
                                          WO 2004-GB2025
                                                           20040512
```

AB Title compds. I [R1 = halo, NO2, CN, OH, NH2, carboxy, etc.; p = 0-4; R2 = sulfamoyl, etc.; q = 0-2; R3 = halo, NO2, CN, OH, CF3, etc.; n = 0-2; R4 = H, alk(en/yn)yl, cycloalkyl, etc.; R5 = H, halo, NO2, CN, etc.; R6 = H, alkyl, cycloalkyl, Ph, etc.] are prepared For instance, 2-Anilino-4-[1-isopropyl-2-(N-hydroxyiminomethyl)imidazol-5-yl]pyrimidine is prepared from the corresponding aldehyde and hydroxylamine. Selected compds. of the invention exhibit IC50 in the range of 1 mM to 1 nM for CDK2 kinase. I are useful for producing a cell cycle inhibitory (anti cell proliferation) effect.

#### MSTR 1

G1 = phenylene (opt. substd. by (1-4) G2)  
G3 = 28 / 31 / 34 / 36 / 43  

$$_{2}G^{6} - G^{9} - G^{12}$$
  $_{3}G^{9} - G^{6} - G^{4}$   $_{3}G^{5} - G^{4}$   $_{3}G^{6} - G^{9} - G^{13}$ 

4 G 6-G 9-G1 0-G11

= 74 G4

7922-G8

G6 = NH

G8 = 54 / 57 / 62 / 65

G19-G20-599 G19-G9-5920 G19-G21-G20-699 G19-G21-G9-6920

= C(0)G9

= Ph (opt. substd.) G13

= NO2 / alkyl <containing 1-3 C>

Patent location:

claim 1

Note:

or pharmaceutically acceptable salts or in vivo

hydrolyzable esters

Note:

also incorporates claim 12

REFERENCE COUNT:

2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 12 OF 24 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

141:314346 MARPAT Full-text

TITLE:

Preparation of quinoline, tetrahydroquinazoline, and pyrimidine derivatives as MCH antagonist for treatment

of CNS disorders

INVENTOR (S):

Sekiguchi, Yoshinori; Kanuma, Kosuke; Omodera, Katsunori; Busujima, Tsuyoshi; Tran, Thuy-Anh; Han, Sangdon; Casper, Martin; Kramer, Bryan A.; Semple,

Graeme; Zou, Ning

PATENT ASSIGNEE(S):

Taisho Pharmaceutical Co. Ltd., Japan; Arena

Pharmaceuticals, Inc.

SOURCE:

Eur. Pat. Appl., 586 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

English

PATENT INFORMATION:

PAT	TENT NO.	KIND DA'	TE	APPLICATION NO.	DATE
ΕP	1464335	A2 20	041006	EP 2004-7651	20040330
ΕP	1464335	A3 20	070509	•	
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	IE, SI,	LT, LV, F	I, RO, MK,	CY, AL, TR, BG, CZ,	EE, HU, PL, SK
US	2005197350	A1 20	050908	US 2004-812075	20040330
ΑU	2004226049	A1 20	041014	AU 2004-226049	20040331
CA	2518913	A1 20	041014	CA 2004-2518913	20040331
WO	2004087669	A1 20	041014	WO 2004-JP4624	20040331
	W: AE, AG,	AL, AM, A	T, AU, AZ,	BA, BB, BG, BR, BW,	BY, BZ, CA, CH,

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CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
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             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
            NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
            BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
            ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
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             TD, TG
     JP 2004300156
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                            20041028
                                           JP 2004-107965
                                                            20040331
    BR 2004008910
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                            20060321
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                                                            20040331
                                           CN 2004-80014547 20040331
     CN 1798736
                       Α
                            20060705
     IN 2005KN01805
                       Α
                            20061201
                                           IN 2005-KN1805
                                                            20050912
    NO 2005004999
                       Α
                            20051107
                                           NO 2005-4999
                                                            20051027
PRIORITY APPLN. INFO.:
                                           US 2003-458530P
                                                            20030331
                                           US 2003-495911P
                                                            20030819
                                           US 2003-510186P
                                                            20031009
                                           US 2003-530360P
                                                            20031216
                                           WO 2004-JP4624
                                                            20040331
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AΒ Title compds. I, II, and III [wherein R1 = (un) substituted (cyclo) alkyl, (cyclo)alkenyl, alkynyl, aryl; R2 = H, halo, OH, carboxy, carbamoyl, amino, (un) substituted alkyl, alkoxy; T = independently H, halo, OH, carboxy, carbamoyl, amino, cyano, NO2, alkenyl, alkynyl, cycloalkyl, (un) substituted alkyl, alkoxy; p = 0-5; L = aminocycloalkylideneamino, etc.; Y = bond, CH2, CO2, OCO, SO2, CO, CS, CONH, CSNH, etc.; with provisos; and pharmaceutically acceptable salts, hydrates, or solvates thereof] were prepared as antagonists of melanin concentrating hormone (MCH), an endogenous ligand of G-protein coupled receptors (GPCRs). Examples include solution and solid phase general synthetic methods and phys. data for nearly 3400 invention compds. In addition, all exemplified compds. were assayed using high throughput functional screening to detect intracellular Ca2+ concns. for accessing GPCR activation. For instance, reaction of 2,4-dichloro-6-methylpyrimidine with dimethylamine gave 2-chloro-4-(dimethylamino)-6-methylpyrimidine (40%), which was coupled with cis-(4-aminocyclohexyl)carbamic acid tert-Bu ester (60%). Deprotection (72%), amidation, and workup provided the benzamide IV•TFA. The latter demonstrated MCH antagonist activity with an IC50 value of 7.6 nM. Thus, pharmaceutical compns. comprising I are useful for the prophylaxis or treatment of improving memory function, sleeping and arousal, anxiety, depression, mood disorders, seizure, obesity, diabetes, appetite and eating disorders, cardiovascular disease, hypertension, dyslipidemia, myocardial infarction, binge eating disorders including bulimia, anorexia, mental disorders including manic depression, schizophrenia, delirium, dementia, stress, cognitive disorders, attention deficit disorder, substance abuse disorders, and dyskinesias including Parkinson's disease, epilepsy, and addiction (no data). This is part I of three in a series covering the patent.

MSTR 1C



G1 = 32-5 34-2

G6 G36 N34

G6 = alkyl <containing 1-5 C>

(opt. substd. by 1 or more G3)

 $G7 = 58-1 \ 61-3 \ / \ 123-1 \ 125-3$ 

 $_{5}$  $_{6}$  $_{8}$  $_{-6}$  $_{1}$  $_{2}$  $_{3}$  $_{-1}$  $_{2}$  $_{4}$  $_{1}$  $_{2}$  $_{5}$  $_{5}$ 

G8 = NH

G10 = 66-58 69-60

66 69

G12 = 121

12<del>T</del>G13

G13 = 0

G16 = m-C6H4Me

G36 = NH2

Patent location:

Note: substitution is restricted

Note: additional substitution also claimed

claim 1

L29 ANSWER 13 OF 24 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 141:38625 MARPAT Full-text

TITLE: Preparation of Chk-, pdk- and akt-inhibitory

pyrimidines

INVENTOR(S): Bryant, Judi; Kochanny, Monica; Yuan, Shendong; Khim,

Seock-Kuy; Buckman, Brad; Arnaiz, Damian; Boemer, Ulf;

Briem, Hans; Esperling, Peter; Huwe, Christoph; Kuhnke, Joachim; Schaefer, Martina; Wortmann, Lars;

Kosemund, Dirk; Eckle, Emil; Feldman, Richard;

Phillips, Gary

PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 293 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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PATENT NO.
                      KIND
                            DATE
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    WO 2004048343
                            20040610
                                           WO 2003-EP13443
                       A1
                                                             20031128
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             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO,
             NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,
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             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     CA 2502970
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    US 2004186118
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     EP 1565446
                       A1
                            20050824
                                           EP 2003-780086
                                                             20031128
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     BR 2003016680
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                            20051018
                                           BR 2003-16680
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     CN 1717396
                       Α
                            20060104
                                           CN 2003-80104544 20031128
     JP 2006508997
                       T
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                                           JP 2004-554522
                                                             20031128
     IN 2005DN01603
                       Α
                            20070202
                                           IN 2005-DN1603
                                                             20050420
    NO 2005003144
                       Α
                            20050627
                                           NO 2005-3144
                                                             20050627
PRIORITY APPLN. INFO.:
                                           EP 2002-26607
                                                             20021128
                                           WO 2003-EP13443 20031128
```

The title compds. [I; A, B = CN, halo, H, OH, etc.; X = 0, (un) substituted NH; R1 = H, halo, CH2OH, alkyl, etc.; R2 = H, (un) substituted NHCO-aryl or alkyl] which are inhibitors of kinases useful as medications for treating various diseases, were prepared E.g., a multi-step synthesis of 5-bromo-4-[2-(1H-imidazol-4-yl)ethylamino]-2-(4-pyrrolidin-1- ylmethylphenylamino)pyrimidine, starting from 5-bromouracil, was given. Biol. data for inhibition of Akt-2, Chk-1, and VEGFR-II (KDR) were given. The pharmaceutical composition comprising the compds. I is claimed.

#### MSTR 1

G1 = 302

ы́ № 2 — С (О) — G 4 3

G25 = alkyl <containing 1-6 C>

G28 = 136

130 G2

G42 = 266-7 267-10 268-9

267 268

G43 = Ph

Patent location:

claim 1

Note:

and isotopes, solvates, polymorphs, or

pharmaceutically acceptable salts

Note:

additional oxo group substitution and ring

formation also claimed

Stereochemistry:

or diastereomers or enantiomers

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 14 OF 24 MARPAT COPYRIGHT 2007 ACS on STN

2

ACCESSION NUMBER:

137:201334 MARPAT Full-text

TITLE:

Preparation of N-phenyl 4-heterocyclylpyrimidin-2-

amines for inhibition of cell-proliferation

INVENTOR(S):

Thomas, Andrew Peter

PATENT ASSIGNEE(S):

Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE:

PCT Int. Appl., 76 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	PATENT NO.			KII	ND I	DATE			Al	PPLI	CATI	ои ис	). 1	DATE			
WO :	2002	06648	31	Α.	1 2	2002	3829		W	) 200	02 - G1	3603		20020	)212		
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		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
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		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NΕ,	SN,	TD,	TG
CA .	2438	646		A:	1 :	2002	0829		CZ	A 200	02,-24	43864	46	20020	0212		
AU	2002	2319	50	A:	1 :	2002	0904		Α	J 200	02-23	31960	Э.	20020	0212		
EP	1362	050		A.	1 :	2003	1119		E	P 200	02-7	1205	3 .	2002	0212		
EP	1362050 B1 2				2005	0202											
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR	•					

BR 2002007294	Α	20040302	BR	2002-7294	20020212
JP 2004521916	T	20040722	JP	2002-565995	20020212
CN 1524081	Α	20040825	CN	2002-808167	20020212
AT 288436	T	20050215	AT	2002-712053	20020212
NZ 527367	Α	20050429	NZ	2002-527367	20020212
PT 1362050	T	20050531	PT	2002-712053	20020212
ES 2236494	<b>T</b> 3	20050716	ES	2002-2712053	20020212
ZA 2003006081	Α	20041117	ZA	2003-6081	20030806
US 2004097506	A1	20040520	US	2003-467886	20030813
US 6844341	B2	20050118			
NO 2003003635	Α	20030815	NO	2003-3635	20030815
PRIORITY APPLN. INFO	.:		GB	2001-3926	20010217
			WO	2002-GB603	20020212

AB The title compds. [I; ring A = (un)substituted imidazo[1,2-a]pyrazin-3-yl, imidazo[1,2-a]pyrimidin-3-yl, imidazo[1,2-b]pyridazin-3-yl, etc.; R1 = halo, NO2, CN, etc.; n = 0-2; R3 = halo, NO2, CN, etc.; p = 0-4; R4 = EB; B = (un)substituted alkyl, Ph, heterocyclyl, etc.; E = a direct bond, O, CO, etc.; q = 0-2], useful as medicaments, particularly medicaments for producing a cell cycle inhibitory (anti-cell-proliferation) effect in a warm-quest-blooded animal, such as man, were prepared and formulated. Thus, treating 2-anilino-4-(imidazo[1,2-b]pyridazin-3-yl)pyrimidine (preparation given) dissolved in thionyl chloride, with chlorosulfonic acid, followed by reaction of the intermediate with methanolic ammonia afforded 64% I [A = imidazo[1,2-b]pyridazin-3-yl; R1, R3 = H; R4 = 4-sulfamoyl]. In general, cyclin E/CDK2 activity possessed by compds. I may be demonstrated at IC50's in range 250 μM to 1 nM.

#### MSTR 1

G6\_\_\_G13

G1 = NO2 / alkyl <containing 1-6 C> (opt. substd.) G4 = 69

G6 = 7

G13 = Ph (opt. substd. by (1-5) G14)

G14 = (0-2) G4

G15 = Ph (opt. substd.)

Patent location: claim 1

Note: or pharmaceutically acceptable salts or

hydrolysable esters

Note: also incorporates claim 14, formulas III, IV, VI,

and IX

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 15 OF 24 MARPAT COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 137:201324 MARPAT Full-text

TITLE: Preparation of 4-(imidazo[1,2-a]pyrid-3-

yl/pyrazolo[2,3-a]pyrid-3-yl)-2-arylaminopyrimidines

for the treatment of GSK3-related disorders

INVENTOR(S): Berg, Stefan; Bhat, Ratan; Hellberg, Sven PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 71 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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KIND DATE
                                         APPLICATION NO. DATE
    PATENT NO.
                                         ______
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            PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
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PRIORITY APPLN. INFO.:
                                         US 2001-269903P 20010220
                                         WO 2002-SE270
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The title compds. [I; ring A = imidazo[1,2-a]pyrid-3-yl or pyrazolo[2,3-a]pyrid-3-yl; R2 = halo, NO2, CN, etc.; m = 0-5; R1 = halo, NO2, CN, etc.; n = 0-2; ring B = Ph, Ph fused to cycloalkyl; R3 = halo, NO2, CN, etc.; p = 0-4; R4 = EA (A = H, alkyl, Ph, etc.; E = a direct bond, O, CO, etc.); q = 0-2], useful in the treatment and/or prophylaxis of conditions associated with glycogen synthase kinase-3, were prepared and formulated. Thus, reacting 3-chloroaniline with 4-(2-methylimidazo[1,2-a]pyrid-3-yl)-2-

methylthiopyrimidine (preparation given) in the presence of NaH in NMP afforded 21% II. Typical Ki values for the compds. I are in the range of about 0.001 to about 10,100 nM in human GSK3 $\beta$  assay.

#### MSTR 1

G4 = NO2 / alkyl <containing 1 or more C>

(opt. substd.)

G6 = 50

5620-G9

G9 = 93

9G17-9G19

G17 = 95-50 96-94

9G18-9C(0)

G18 = NH

G19 = Ph (opt. substd.)

= phenylene (opt. substd. by 1 or more G7)

Patent location:

claim 1 Note: or pharmaceutically acceptable salts

L29 ANSWER 16 OF 24 MARPAT COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 136:247599 MARPAT Full-text

Preparation of imidazolo-5-yl-2-anilino-pyrimidines as TITLE:

agents for the inhibition of the cell proliferation

Breault, Gloria Anne; Newcombe, Nicholas John; Thomas, INVENTOR (S):

Andrew Peter

Astrazeneca AB, Swed.; Astrazeneca UK Limited PATENT ASSIGNEE(S):

PCT Int. Appl., 108 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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PATENT NO.
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                            DATE
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                                                            DATE
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    WO 2002020512
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                                           WO 2001-GB3864
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                      Α9
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             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
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                                                             20000905
PRIORITY APPLN. INFO.:
                                           WO 2001-GB3864
                                                             20010830
                                           US 2003-363655
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Title compds. I [R1 = halo, nitro, cyano, hydroxy, amino, carboxy, carbamoyl, mercapto, alk(en/yn)yl, alkoxy; p = 0-4; R2 = sulfamoyl, Ra-Rb; q = 0-2; p + q = 0-5; R3 = halo, nitro, cyano, hydroxy, trifluoromethyl, trifluoromethoxy, amino, carboxy, carbamoyl, mercapto, sulfamoyl, alk(en/yn)yl, alkoxy, alkanoyl, etc.; n = 0-2, R4 = H, alk(en/yn)yl, cycloalkyl, Ph, etc.; R5-6 = H, halo, nitro, cyano, hydroxy, trifluoromethoxy, amino, carboxy, carbamoyl, mercapto, sulfamoyl, alk(en/yn)yl, alkoxy, etc.; Ra = alk(en/yn)yl, cycloalkyl, Ph, heterocyclyl, phenyl-alkyl, etc.; Rb = C(0), amido, carboxamido, etc.] were prepared For instance, phenylguanidine hydrogen carbonate was condensed with 5-(3-dimethylaminoprop-2-en-1-oyl)-1-methylimidazole (i-PrOH, NaOMe, reflux, 3 h) to give II in 64% yield. The CDK2 inhibitory activity of II was measured as IC50 = 0.146  $\mu$ M.

```
G12 N NH G1
G13 N G14
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```
G1 = Ph (opt. substd. by 1 or more G2)
```

G2 = (up to 2) G4G4 = 11 / 13 / 18

1G6-G5 1G7-G8-G5 1G8-G7-G5

G5 = Ph (opt. substd.)

G7 = NH

G8 = C(0)

G12 = NO2 / alkyl <containing 1 or more C>

(opt. substd.)

Patent location: claim 1

Note: or pharmaceutically acceptable salts or in vivo

hydrolysable esters

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 17 OF 24 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 134:193444 MARPAT Full-text

TITLE: Preparation of imidazo[1,2-a]pyridinylpyrimidines and

pyrazolo[2,3-a]pyridinylpyrimidines as inhibitors of

CDK2, CDK4, and CDK6 cell cycle kinases.

INVENTOR(S): Thomas, Andrew Peter; Breault, Gloria Anne; Beattie,

John Franklin; Jewsbury, Phillip John

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 81 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2001014375	A1 20010301	WO 2000-GB3139	20000815
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CU, CZ,	DE, DK, DM, DZ,	EE, ES, FI, GB, GD, GE,	, GH, GM, HR, HU,
ID, IL,	IN, IS, JP, KE,	KG, KP, KR, KZ, LC, LK,	, LR, LS, LT, LU,
LV, MA,	MD, MG, MK, MN,	MW, MX, NO, NZ, PL, PT,	, RO, RU, SD, SE,
SG, SI,	SK, SL, TJ, TM,	TR, TT, TZ, UA, UG, US,	, UZ, VN, YU, ZA, ZW
RW: GH, GM,	KE, LS, MW, MZ,	SD, SL, SZ, TZ, UG, ZW,	, AT, BE, CH, CY,
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CF, CG,	CI, CM, GA, GN,	GW, ML, MR, NE, SN, TD,	, TG
CA 2376293	A1 20010301	CA 2000-2376293	20000815
BR 2000013476	A 20020430	BR 2000-13476	20000815

EP	1214	1214318 1214318			l	2002	0619		EF	2	000-	95331	L9	2000	0815		
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HU	2002	0249	4	A2	2	2002	1028		HU	J 2	002-	2494		2000	0815		
JP	2003	5074	78	T		2003	0225		JF	2	001-	51870	)6	2000	0815		
AU	7576	39		B	2	2003	0227		ΑÜ	J 2	000-	65833	3	2000	0815		
EE	2002	0008	)	Α		2003	0616		EE	2	002-	80		2000	0815		
AT	2516	23		T		2003	1015		ΓA	2	000-	9533	۱9	2000	0815		
PT	1214	318		T		2004	0227		PΊ	2	000-	9533	۱9	2000	0815		
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									WC	2	000-	GB313	39	2000	0815		

Title compds. [I; A = imidazo[1,2a]pyrid-3-yl, pyrazolo[2,3a]pyrid-3-yl; R1 = AΒ halo, NO2, cyano, OH, CF3, OCF3, amino, CO2H, sulfamoyl, (substituted) alkyl, alkenyl, alkynyl, alkoxy, alkanoyl, alkanoyloxy, Ph, heterocyclyl, etc.; R2 = halo, NO2, cyano, OH, CF3, OCF3, amino, CO2H, SH, carbamoyl, sulfamoyl, (substituted) alkyl, alkenyl, alkynyl, alkoxyl, Ph, heterocyclyl, PhS, etc.; R3 = halo, NO2, cyano, OH, amino, CO2H, carbamoyl, SH, sulfamoyl, alkenyl, alkynyl; m = 0-5; n = 0-2; Ring B = Ph or Ph fused to a C5-7 cycloalkyl ring; p = 0-4; R4 = AE; A = (substituted) alkyl, Ph, heterocyclyl, cycloalkyl, phenylalkyl, heterocyclylalkyl, cycloalkylcycloalkyl; E = bond, O, CO, CO2, NRaCO, NRa, S, SO, SO2, SO2NRa; q = 0-2;  $p+q \le 5$ ], were prepared Thus, NaH was added to 3-chloroaniline in N-methylpyrrolidone; after 30 min. 4-(2methylimidazo[1,2-a]pyridin-3-yl)-2-methylthiopyrimidine (preparation given) in N-methylpyrrolidone was added and the mixture was heated at 150° for 3 h to qive 21% 2-(3-chloroanilino)-4-(2-methylimidazo[1,2-a]pyrid-3- yl)pyrimidine. 2-[4-(2-Diethylaminoethoxy)anilino]-4-(imidazo[1,2-a]pyrid- 3-yl)pyrimidine showed CDK2 inhibitory activity with IC50 = 0.17  $\mu$ M.

### MSTR 1

$$\begin{array}{c} \text{G1} & \text{NH} \\ \text{G1} & \text{G3} \end{array}$$

```
G1 = NO2 / alkyl <containing 1 or more C>
          (opt. substd.)
```

G6 = Ph (opt. substd. by (1-4) G7)

G7 = (up to 2) G9

G9 = 59

5G15-C(0)-G14

G14 = Ph (opt. substd.)

G15 = NH

Patent location:

claim 1

Note:

or pharmaceutically acceptable salts or in vivo

hydrolysable esters

MSTR 3

G20\_G6

G1 = NO2 / alkyl <containing 1 or more C>

(opt. substd.)

G6 = Ph (opt. substd. by (1-4) G7)

G7 = (up to 2) G9

G9 = 59

5G15-C(0)-G14

G14 = Ph (opt. substd.)

G15 = NH

G20 = 7

$$G1 \longrightarrow NH$$

Patent location: claim 9

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 18 OF 24 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

132:347593 MARPAT Full-text

TITLE:

Pyrimidinylbenzimidazole and triazinylbenzimidazole derivatives and agricultural/horticultural fungicides

INVENTOR(S):

Shibata, Masaru; Kawai, Kiyoshi; Makihara, Takechi; Yonekura, Norihisa; Kawashima, Takahiro; Sakai,

Junetsu; Muramatsu, Norimichi

Junetsu; Muramatsu, Norimichi

PATENT ASSIGNEE(S): Kumiai Chemical Industry Co., Ltd., Japan; Ihara

Chemical Industry Co., Ltd.

SOURCE: PCT Int. Appl., 120 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

	PATENT NO.														DATE			
	WO	2000													1999	1115		
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			IN,	IS,	JP,	ΚE,	KG,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,
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											5 20	01-8	3057	8	2001	0508		
				` -	. / -		~~~					-		•		-		

AB Title compds. I (A = N, CR3; R1, R2 = H, halo, alkyl, alkenyl, etc.; R3 = H, alkyl, alkoxy, halo; X = H, halo, nitro, cyano, etc.; Y = halo, nitro, cyano, alkyl, etc.; n = 0, 1, 2, 3), useful as agricultural/horticultural fungicides, are prepared Thus, reaction of benzimidazole with 2-chloro-4-methoxypyrimidine in DMF in the presence of NaH gave 1-(4-methoxypyrimidin-2-yl)benzimidazole (II). II at 500 ppm gave >80% control against Erysiphe graminis on barley seedlings.

#### MSTR 2

18----G2

= alkyl <containing 1-6 C>

G3 = dialkylamino <each alkyl containing 1-4 C>

G7 = Ph (opt. substd. by 1 or more G6)

. G9

₫Ю——С(О)-G7

Patent location:

claim 6

Note:

substitution is restricted

REFERENCE COUNT:

53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER:

L29 ANSWER 19 OF 24 MARPAT COPYRIGHT 2007 ACS on STN 132:44977 MARPAT Full-text

TITLE:

Benzamidine derivatives substituted by cyclic amino acid and cyclic hydroxy acid derivatives and their use

as anticoagulants

INVENTOR(S):

Kochanny, Monica; Morrissey, Michael M.; Ng, Howard P.

PATENT ASSIGNEE(S):

Berlex Laboratories, Inc., USA

SOURCE:

U.S., 31 pp., Cont.-in-part of U.S. Ser. No. 713,066.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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US	6008	234		Α		1999	1228		U	5 19:	97-9:	2031	9	1997	0827		
CA	2264	521		A.	1	1998	0319		CZ	A 19	97-2	26452	21	1997	0911		
WO	9811	094		A:	1	1998	0319		W	199	97-E	P496	1	1997	0911		
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		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,
		PT.	RO.	RU.	SD,	SE.	SG.	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	UA,	UG,	UZ,
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	7239										<i>,</i>				· · · · · · · · · · · · · · · · · · ·		
	9295								יכו	D 10	97 9	42011	=	1007	0011		
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EP	9295																
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						FI,											
	1234																
HÚ	9903	184		A:	2	2000	0228		H	U 19	99-3	184		1997	0911		
HU	9903	184		A:	3	2001	0628										
	2001								J:	P 19	98-5	1325	7	1997	0911		
JP	3565	864		B	2	2004	0915										

AT	228513	T	20021215	AT	1997-942015	19970911
PT	929547	T	20030331	PT	1997-942015	19970911
ES	2188979	T3	20030701	ES	1997-942015	19970911
KR	2000036017	Α	20000626	KR	1999-701989	19990310
NO	9901206	Α	19990511	NO	1999-1206	19990311
MX	9902396	A	20000331	MX	1999-2396	19990311
US	6177473	B1	20010123	US	1999-439065	19991112
US	6232325	B1	20010515	US	1999-438354	19991112
US	6265404	B1	20010724	US	1999-438270	19991112
CN	1338454	Α	20020306	CN	2001-121736	20010703
PRIORITY	Y APPLN. INFO.:			US	1996-713066	19960912
				US	1997-920319	19970827
				WO	1997-EP4961	19970911

AB Benzamidine derivs. substituted by cyclic amino acid and cyclic hydroxy acid derivs. are provided which are useful as anticoagulants. Also disclosed are pharmaceutical compns. containing the compds. of the invention, and methods of using the compds. to treat disease-states characterized by thrombotic activity.

#### MSTR 1

$$\begin{array}{c} G11 \\ HN = G_{45} \\ 2G_{8} = G_{15} = G_{1} \\ G41 = G_{41} \\ G41 = G_{41} \\ G41 = G_{41} \\ G26 \end{array}$$

$$G1 = 11$$

$$G2 = Ph$$
 $G8 = 37$ 

$$G15 = 59-7 58-45 57-23$$

G23 = alkyl <containing 1-6 C>

(opt. substd. by 1 or more G18)

G26 = 255

2527-G28-G29-G30

G27 = NH

G41 = (1) N / 294

29<del>4</del>—G23

Derivative: and pharmaceutically acceptable salts

Patent location: claim 1

Stereochemistry: or stereoisomers or salts

REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 20 OF 24 MARPAT COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 131:37806 MARPAT Full-text

TITLE: Pyrimidine compound dye and thermal-transfer printing

material and ink-jet printing liquid using same

INVENTOR(S): Ohya, Hidenobu; Kida, Shuji; Kaneko, Manabu

PATENT ASSIGNEE(S): Konica Co., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

JP 11152417 A 19990608 JP 1997-336535 19971120
PRIORITY APPLN. INFO.: JP 1997-336535 19971120

The title dye has the general formula B:DA [A = pyrimidine ring having at least NR1R2 as a substituent, A links to D at the C atom in the pyrimidine ring; B = coupler component which links to D at its active point; D = N or CH; R1, R2 = H, (substituted) alkyl, (substituted) aryl, (substituted) heterocycle, R1 and R2 may link each other to form a ring]. A thermal-transfer printing material possessing a layer containing the dye on a support and an ink-jet printing liquid containing the dye are also claimed. The material and the printing liquid produce light-fast images.

#### MSTR 1

G4=--G5----G2

G1 = 5-2 7-4

G2 = NH2 G4 = 141

G5 = N G6 = Me G18 = Ph

Patent location:

claim 1

L29 ANSWER 21 OF 24 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

128:230376 MARPAT Full-text

TITLE:

Benzamidine derivatives substituted by cyclic amino acid or cyclic hydroxy acid derivatives, and their use

as anticoagulants

INVENTOR(S):

Kochanny, Monica; Morrissey, Michael M.; Ng, Howard P.

PATENT ASSIGNEE(S):

Schering A.-G., Germany PCT Int. Appl., 79 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 9811094	A1 19980319	WO 1997-EP4961	19970911
W: AL, AM,	AT, AU, AZ, BA, BB,	BG; BR, BY, CA, CH,	CN, CU, CZ, DE,
DK, EE,	ES, FI, GB, GE, GH,	HU, IL, IS, JP, KE,	KG, KP, KR, KZ,
LC, LK,	LR, LS, LT, LU, LV,	MD, MG, MK, MN, MW,	MX, NO, NZ, PL,
PT, RO,	RU, SD, SE, SG, SI,	SK, SL, TJ, TM, TR,	TT, UA, UG, UZ,
VN, YU,	ZW		
RW: GH, KE,	LS, MW, SD, SZ, UG,	ZW, AT, BE, CH, DE,	DK, ES, FI, FR,
GB, GR,	IE, IT, LU, MC, NL,	PT, SE, BF, BJ, CF,	CG, CI, CM, GA,
GN, ML,	MR, NE, SN, TD, TG		
US 6008234	A 19991228	US 1997-920319	19970827
CA 2264521	A1 19980319	CA 1997-2264521	19970911
AU 9743843	A 19980402	AU 1997-43843	19970911
AU 723999	B2 20000907		
EP 929547	A1 19990721	EP 1997-942015	19970911
EP 929547	B1 20021127		
R: AT, BE,	CH, DE, DK, ES, FR,	GB, GR, IT, LI, LU,	NL, SE, MC, PT,
IE, SI,	LT, LV, FI, RO		

JP 2001500147	${f T}$	20010109	JP	1998-513257	19970911
. JP 3565864	B2	20040915			
AT 228513	${f T}$	20021215	AT	1997-942015	19970911
NO 9901206	Α	19990511	NO	1999-1206	19990311
MX 9902396	Α	20000331	MX	1999-2396	19990311
PRIORITY APPLN. INFO.:			US	1996-713066	19960912
			US	1997-920319	19970827
			WO	1997-EP4961	19970911

The invention is directed to benzamidine derivs. substituted by cyclic amino AB acid and cyclic hydroxy acid derivs., which are represented by seven general formulas, e.g., I [A = CR8 or N; Z1, Z2 = 0, NR9, S, S(0), S(0)2, or OCH2; R1,R4 = H, halo, alkyl, NO2, OR9, CO2R9, NR9R10 or derivs.; R2 = C(:NH)NH2, C(:NH)NHOR9, C(:NH)NHCO2R12, C(:NH)NHCOR9, etc.; R3 = H, alkyl, halo, haloalkyl, NO2, ureido, guanidino, OR9, C(:NH)NH2 or derivs., etc.; R5, R6 = H, halo, alkyl, haloalkyl, NR9R10, CO2R9, etc.; R7 = NR9(CR9R10)0-4R13, O(CR9R10)O-4R13, or NR14R15; R8 = H, alkyl, halo; R9, R10 = H, alkyl, (un) substituted aryl or aralkyl; R12 = alkyl, (un) substituted aryl or aralkyl; R13 = (un)substituted carbocycle; R13, NR14R15 = (un)substituted heterocycle]. The compds. are useful as anticoagulants. This invention is also directed to pharmaceutical compns. containing the compds., and their use to treat thrombotic disease states. For example, pentafluoropyridine underwent a sequence of: (1) amination in the 4-position by Et 1-amino-1cyclopentanecarboxylate-HCl (82%); (2) N-methylation of the amino group (65%); (3) etherification in the 2-position with 2-(benzyloxy)-5-cyanophenol (60%); (4) etherification in the 6-position with 3-(1-methylimidazolin-2-yl)phenol; and (5) Pinner reaction of the nitrile with concomitant debenzylation, to give title compound II (isolated as the CF3CO2H salt).

#### MSTR 1

$$G1 = 10-3 12-5 14-8$$

$$10 \begin{array}{c} \begin{array}{c} \begin{array}{c} \begin{array}{c} \\ \\ \end{array} \\ 14 \end{array} \begin{array}{c} \begin{array}{c} \\ \\ \end{array} \\ \end{array} \begin{array}{c} \\ \end{array} \begin{array}{c} \\ \end{array} \begin{array}{c} \\ \\ \end{array} \begin{array}{c} \\ \end{array} \begin{array}{c} \\ \\ \end{array} \begin{array}{c} \\ \end{array} \begin{array}{c} \\ \end{array} \begin{array}{c} \\ \\ \end{array} \begin{array}{$$

$$G2 = 15 / N$$

G3 = alkyl <containing 1-6 C> (opt. substd. by 1 or more G8)

G4 = Ph

$$G12 = 72$$

G14 = 90-3 89-84 88-1



G16 = 215



G17 = 220

2560)-G4

G24 = 268-4 274-259

2631<del>2</del>638—629<del>2</del>528—<u>25</u>40)

G31 = 289

28<del>9 G33</del>

Derivative:

or pharmaceutically acceptable salts

claim 1

Note:

substitution is restricted

Stereochemistry:

Patent location:

single stereoisomer or mixture

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 22 OF 24 MARPAT COPYRIGHT 2007 ACS on STN ACCESSION NUMBER:

3

TITLE:

119:273400 MARPAT Full-text

INVENTOR(S):

Continuous reaction of halopyrimidines with amines Arnold, Siegbert; Frosch, Hans Georg; Hoppe, Manfred;

Muellers, Wolfgang; Sommer, Richard

PATENT ASSIGNEE(S):

Bayer A.-G., Germany

SOURCE:

Eur. Pat. Appl., 26 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

FAMILY ACC. NUM. COUNT:

German

PATENT INFORMATION:

PATE	ENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 5	542079	A2	19930519	EP 1992-118736	19921102
EP 5	542079	A3	19940817		
EP 5	542079	B1	19970723		
	R: CH, DE, I	R, GB	, LI		
DE 4	4137291	A1	19930519	DE 1991-4137291	19911113
JP (	05222306	A	19930831	JP 1992-321425	19921106
US S	5420255	Α	19950530	US 1994-200865	19940222
PRIORITY	APPLN. INFO.:	;		DE 1991-4137291	19911113
		•		US 1992-970897	19921103

AB Reactive dyes are obtained by continuous condensation of halopyrimidines with aqueous amine solns. or dispersions using sep. feeding of the reactants, and removal of the product; the reactants are simultaneously added to the reactor with intensive stirring, e.g., at Reynolds number ≥2500. Thus, 9 kg/h 5chloro-2,4,6-trifluoropyrimidine (I) at 20° and 171 L/h aqueous solution at 40° containing 12.9 kg Na 7-amino-4-hydroxy-2- naphthalenesulfonate and 2.1 kg NaF were introduced (with I pressure drop 35 bars) to a jet nozzle reactor and the product at 0° was coupled with diazotized 2-amino-5-methoxybenzenesulfonic acid to give an azo dye. The dye provided clear scarlet shades on cotton.

#### MSTR 3A

```
G3
       = Ph (opt. substd. by 1 or more G4)
```

G17 = NH

G52 = C(0)

= phenylene (opt. substd. by (up to 2) SO3H)

Patent location: claim 5

MSTR 1

<sup>=</sup> pyrimidinyl (substd. by 1 or more G6) G5

G6 = NO2 / Me

G5\_\_\_G7

Patent location:

claim 5

MSTR 3A

G6 = NO2 / Me

G17 = NH

G52 = C(0)

G55 = phenylene (opt. substd. by (up to 2) SO3H)

Patent location: claim 5

MSTR 3B

G3 = 912

G5 = pyrimidinyl (substd. by 1 or more G6)

G6 = NO2 / Me

G17 = NH G52 = C(O)

G55 = phenylene (opt. substd. by (up to 2) 1043)

SO3-●H<sup>†</sup>

Patent location: claim 5

L29 ANSWER 23 OF 24 MARPAT COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 119:37437 MARPAT Full-text

TITLE: Silver halide photographic material

INVENTOR(S): Kato, Takashi; Hioki, Takanori; Ikeda, Tadashi

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 103 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	<b>-</b>			
EP 532042	A1	19930317	EP 1992-115605	19920911
EP 532042	B1	19991222		
R: DE, GB,	NL			
JP 05072662	Α	19930326	JP 1991-261389	19910913
US 5489505	A	19960206	US 1995-397725	19950302
PRIORITY APPLN. INFO	.:		JP 1991-261389	19910913
			US 1992-943674	19920911
			US 1993-150793	19931112

AB The title photog. material contains a special bridge group-bearing cyanine dye I [Z1, Z2 = atoms necessary to form 5- or 6-membered N-containing ring; Q = atoms necessary to form 5- or 6-membered ring; R1 = alkyl, aryl, heterocyclyl; R2, R3 = alkyl; L1-L6 = methine group; m, n = 0, 1; M = ion necessary to

neutralize elec. charge; p = number necessary to neutralize elec. charge].
The photog. material has high sensitivity and excellent storage stability.

#### MSTR 2

G1 = 25-1 47-3

$$25$$
 C (0)-NH C  $\frac{\text{CH}}{\text{G2}}$  C (0)-NH  $\frac{\text{CH}}{\text{G2}}$ 

G6 = CH / 1 or more N G8 = alkyl / 187

₽8<del>7---</del>G11

Patent location: claim 8

L29 ANSWER 24 OF 24 MARPAT COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 117:58797 MARPAT Full-text

TITLE: Silver halide emulsion

INVENTOR(S): Hioki, Takanori; Matsunaga, Atsushi

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 146 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 474047	A1	19920311	EP 1991-114082	19910822
EP 474047	B1	19960612		
R: DE, FR,	GB, IT	, NL		
JP 04104138	Α	19920406	JP 1990-221780	19900823
JP 04104139	Α	19920406	JP 1990-221783	19900823
JP 2767490	B2	19980618		
US 5223389	Α	19930629	US 1991-748600	19910822
EP 647878	A2	19950412	EP 1994-120560	19910822
EP 647878	A3	19970730		

EP 647878 B1 20000112

R: DE, FR, GB, IT, NL

PRIORITY APPLN. INFO.:

JP 1990-221780 19900823 JP 1990-221783 19900823 EP 1991-114082 19910822

AB A photog. emulsion comprises  $\geq 1$  methine dye represented by the general formula (MET)p[QrAr]s [MET = an atomic group having a methine dye structure; Q = a divalent linking group; p = 1, 2; r = 1-4; q = 0, 1; Ar = an aromatic polycyclic group formed of  $\geq 8$  atoms containing  $\geq 1$  N atom, with the proviso that the N atom is in a form such that tautomerism does not produce -NH-. A photog. material is also claimed which contains a photog. emulsion layer comprising Ag halide grains containing Fe ions in an amount of 10-7-10-3 mol/mol Ag halide and having a localized phase with an Fe ion concentration  $\geq 10$  times that of the other portions. The photog. material exhibits a blue sensitivity difference of  $\leq 0.1$  between when it is developed after exposure in vacuum under 10-5 torr and when it is developed after exposure in air under 760 torr. The material shows reduced fluctuation of sensitivity during storage.

#### MSTR 2

$$G1 = 42-767-9 / 67-742-9$$

G2 = alkyl (opt. substd.) / NH2

G5 = 1 or more N / CH

Patent location: claim 3

## Search History

L1		1 SEA ABB=ON PLU=ON US2004-812075/APPS
L2	FILE	'REGISTRY' ENTERED AT 09:48:18 ON 05 JUN 2007 7 SEA ABB=ON PLU=ON (769192-99-6 OR 769193-00-2 OR 769193-01-3 OR 769193-02-4 OR 769193-03-5 OR 769193-04-6 OR 769193-05-7)/
L3		RN 1 SEA ABB=ON PLU=ON 769190-72-9/RN
L4	FILE	'CAPLUS' ENTERED AT 09:50:30 ON 05 JUN 2007 ANALYZE PLU=ON L1 1- RN : 1738 TERMS
L5 L6 L7	FILE	'REGISTRY' ENTERED AT 09:53:14 ON 05 JUN 2007  8 SEA ABB=ON PLU=ON (769190-72-9 OR 1655-07-8 OR 175278-12-3 OR 220996-80-5 OR 223131-01-9 OR 23631-02-9 OR 247570-24-7 OR 31058-81-8)/RN STRUCTURE UPLOADED 2 SEA SSS SAM L6
L8 L9		STRUCTURE UPLOADED 2 SEA SSS SAM L8
L10	FILE	'LREGISTRY' ENTERED AT 10:21:42 ON 05 JUN 2007 0 SEA SSS FUL L8
L11 L12		'BEILSTEIN' ENTERED AT 10:22:14 ON 05 JUN 2007 0 SEA SSS SAM L8 0 SEA SSS FUL L8
L13	FILE	'REGISTRY' ENTERED AT 10:23:30 ON 05 JUN 2007 278 SEA SSS FUL L8
L16	÷	'CAPLUS' ENTERED AT 10:26:57 ON 05 JUN 2007  3 SEA ABB=ON PLU=ON L13  902 SEA ABB=ON PLU=ON SEKIGUCHI Y?/AU  32 SEA ABB=ON PLU=ON KANUMA K?/AU  21 SEA ABB=ON PLU=ON OMODERA K?/AU  19 SEA ABB=ON PLU=ON BUSUJIMA T?/AU  2458 SEA ABB=ON PLU=ON TRAN T?/AU  9406 SEA ABB=ON PLU=ON HAN S?/AU  54 SEA ABB=ON PLU=ON CASPER M?/AU  757 SEA ABB=ON PLU=ON KRAMER B?/AU  92 SEA ABB=ON PLU=ON SEMPLE G?/AU  95 SEA ABB=ON PLU=ON (L15 OR L16 OR L17 OR L18 OR L19 OR L20 OR L21 OR L22 OR L23 OR L24) AND L14
L26 L27	FILE	'MARPAT' ENTERED AT 10:36:37 ON 05 JUN 2007  1 SEA SSS SAM L8  24 SEA SSS FUL L8
L28	FILE	'CAPLUS' ENTERED AT 10:39:22 ON 05 JUN 2007 0 SEA ABB=ON PLU=ON L14 NOT L25
L29	FILE	'MARPAT' ENTERED AT 10:40:40 ON 05 JUN 2007 24 SEA ABB=ON PLU=ON L27 NOT L25